Author Search

=> FILE HCAPLUS

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FILE COVERS 1907 - 18 Mar 2009 VOL 150 ISS 12 FILE LAST UPDATED: 17 Mar 2009 (20090317/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

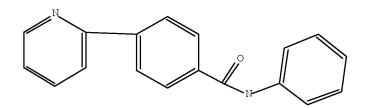
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This file contains CAS Registry Numbers for easy and accurate substance identification.

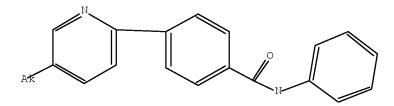
'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D STAT QUE L22 L5 STR



Structure attributes must be viewed using STN Express query preparation.

L8 787 SEA FILE=REGISTRY SSS FUL L5
L11 109 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON BEACHY P?/AU
L12 57860 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON CHEN J?/AU
L13 17 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON TAIPALE A?/AU
L17 STR



Structure attributes must be viewed using STN Express query preparation.

L19 243 SEA FILE=REGISTRY SUB=L8 SSS FUL L17

L20 7 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L19

L21 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L20 AND (PRY<=2003 OR

AY <= 2003 OR PY <= 2003)

L22 1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13)

AND L21

=> FILE WPIX

FILE 'WPIX' ENTERED AT 20:46:55 ON 18 MAR 2009 COPYRIGHT (C) 2009 THOMSON REUTERS

FILE LAST UPDATED: 17 MAR 2009 <20090317/UP>
MOST RECENT UPDATE: 200917 <200917/DW>

DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> Now containing more than 1.3 million chemical structures in DCR <<<

>>> IPC and US National Classifications have been updated with reclassifications to the end of 2008.

ECLA, F-Term and FI-Term classifications are complete to the end of 2008.

No update date (UP) has been created for the reclassified documents, but they can be identified by

specific update codes (see HELP CLA for details) <<<

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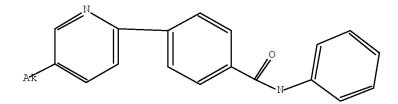
>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

'BI, ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D STAT QUE L26

L11 109 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON BEACHY P?/AU
L12 57860 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON CHEN J?/AU
L13 17 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON TAIPALE A?/AU

L17 STR



Structure attributes must be viewed using STN Express query preparation.

L24 178 SEA FILE=WPIX SSS FUL L17

L25 6 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L24/DCR

L26 1 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13) AND

L25

=> DUP REM L22 L26

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PROCESSING COMPLETED FOR L22

PROCESSING COMPLETED FOR L26

L34 1 DUP REM L22 L26 (1 DUPLICATE REMOVED)

ANSWER '1' FROM FILE HCAPLUS

=> D IBIB ED ABS HITSTR 1

L34 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2005:324289 HCAPLUS Full-text

DOCUMENT NUMBER: 142:367707

TITLE: Hedgehog pathway antagonists for treatment of

proliferative disorders

INVENTOR(S): Beachy, Philip A.; Chen, James K.;

Taipale, Anssi J.

PATENT ASSIGNEE(S): The Johns Hopkins University, USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
WO	2005	0332	 88		A2	_	 2005	0414	1	 WO 2	004-	 US32	 482		20040929 <-			
WO 2005033288				A3 2005101			1013											
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20070232661 A1 20071004 US 2007-573945 20070307 <--PRIORITY APPLN. INFO.:

US 2003-507164P P 20030929 <--WO 2004-US32482 W 20040929

OTHER SOURCE(S): MARPAT 142:367707

ED Entered STN: 15 Apr 2005

AB Aromatic compds. for treating various diseases and pathologies are disclosed. The methods for use of such compds. are also provided. Accordingly, the present invention makes available methods and compns. for inhibiting aberrant growth states resulting from hedgehog gain-of-function, ptc loss-of-function or smoothened gain-of-function.

IT 310452-52-9 310452-58-5 312603-57-9 312755-58-1 313371-75-4 313561-16-9 320741-88-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (aromatic compds. for treatment of cell proliferative disorders by inhibiting hedgehog signaling)

RN 310452-52-9 HCAPLUS

CN Benzamide, N-(4-methoxy-2-nitrophenyl)-4-(5-propyl-2-pyridinyl)- (CA INDEX NAME)

$$n-Pr$$
 N
 C
 NH
 $NO2$

RN 310452-58-5 HCAPLUS

CN Benzamide, N-(4-acetylphenyl)-4-(5-propyl-2-pyridinyl)- (CA INDEX NAME)

RN 312603-57-9 HCAPLUS

CN Benzamide, N-(2,6-dichlorophenyl)-4-(5-pentyl-2-pyridinyl)- (CA INDEX NAME)

RN 312755-58-1 HCAPLUS

CN Benzamide, 4-(5-pentyl-2-pyridinyl)-N-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 313371-75-4 HCAPLUS

CN Benzamide, N-(2,4-dimethylphenyl)-4-(5-pentyl-2-pyridinyl)- (CA INDEX NAME)

RN 313561-16-9 HCAPLUS

CN Benzamide, 4-(5-ethyl-2-pyridinyl)-N-(2-iodophenyl)- (CA INDEX NAME)

RN 320741-88-6 HCAPLUS

CN Benzamide, N-(2,4-dichlorophenyl)-4-(5-pentyl-2-pyridinyl)- (CA INDEX NAME)

In re Application of: Beachy et al.

PATENT Attorney Docket No.: JHU1920-1

Application No.: Not Yet Assigned US Submission Date: March 29, 2006. Based on Intl Appl: PCT/US2004/032482 IA Filing Date: September 29, 2004

Page 3

B. In the Claims

Please amend claims 37, 42 to 45 and 56 without prejudice.

Upon entry of the present amendment, the claims will stand as follows in the present application:

1. (original) A compound having the structure (I):

wherein:

Ri is an alkyl;

 R_2 is a substitutent selected from a group consisting of hydrogen, an alkyl, halogen, and an alkoxy group; and

R₃ is a substitutent selected from a group consisting of an unsubstituted or substituted alkyl group, halogen, an alkoxy group, acetyl group, and nitro group,

or a pharmaceutically acceptable salt thereof.

GT\6483658.1 331323-319

Structure Search

=> FILE HCAPLUS

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FILE COVERS 1907 - 18 Mar 2009 VOL 150 ISS 12 FILE LAST UPDATED: 17 Mar 2009 (20090317/ED)

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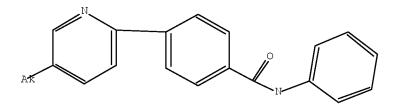
http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D STAT QUE L21 L5 STR

Structure attributes must be viewed using STN Express query preparation. L8 787 SEA FILE=REGISTRY SSS FUL L5 L17 STR



Structure attributes must be viewed using STN Express query preparation.

L19 243 SEA FILE=REGISTRY SUB=L8 SSS FUL L17

L20 7 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L19

L21 2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L20 AND (PRY<=2003 OR

AY <= 2003 OR PY <= 2003)

=> S L21 NOT L22

L35 1 L21 NOT L22

=> FILE WPIX

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FILE LAST UPDATED: 17 MAR 2009 <20090317/UP>
MOST RECENT UPDATE: 200917 <200917/DW>

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to the end of 2008.

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documents, but they can be identified by

specific update codes (see HELP CLA for details) <<<

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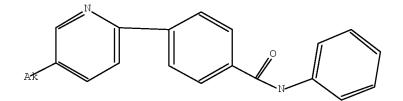
EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0: http://www.stn-international.com/DWPIAnaVist2_0608.html

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

'BI, ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D STAT QUE L25

L17 STR



Structure attributes must be viewed using STN Express query preparation.

L24 178 SEA FILE=WPIX SSS FUL L17

L25 6 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L24/DCR

=> S L25 NOT L26

L36 5 L25 NOT L26

=> S L36 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)

12644924 PRY<=2003 13949814 AY<=2003

(AY <= 2003)

12397703 PY<=2003

(PY <= 2003)

L37 0 L36 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)

=> FILE MARPAT

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FILE CONTENT: 1961-PRESENT VOL 150 ISS 11 (20090313/ED)

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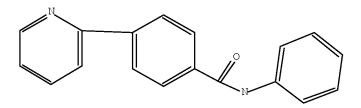
US 20090036430 05 FEB 2009
DE 102008032375 22 JAN 2009
EP 2018847 28 JAN 2009
JP 2009021527 29 JAN 2009
WO 2009020448 12 FEB 2009
GB 2451190 21 JAN 2009
FR 2918986 23 JAN 2009
RU 2344817 27 JAN 2009

CA 2631186 19 DEC 2008

Expanded G-group definition display now available.

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=> D STAT QUE L35 L5 STR

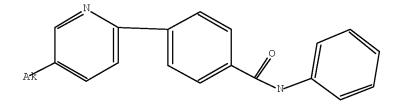


Structure attributes must be viewed using STN Express query preparation.

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L11	109	SEA	FILE=HCAPLUS	SPE=ON	ABB=ON	PLU=ON	BEACHY P?/AU
T.12	57860	CFZ	FILE=HCAPLUS	SDF-ON	ΔRR-ON	PI.II=ON	CHEM .T2/AII

L12 57860 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON CHEN J?/AU L13 17 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON TAIPALE A?/AU

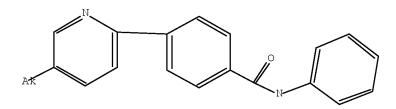
L17 STR



Structure attributes must be viewed using STN Express query preparation.

L19	243	SEA FILE=REGISTRY SUB=L8	SSS FUL L17	
L20	7	SEA FILE=HCAPLUS SPE=ON	ABB=ON PLU=ON	L19
L21	2	SEA FILE=HCAPLUS SPE=ON	ABB=ON PLU=ON	L20 AND (PRY<=2003 OR
		AY<=2003 OR PY<=2003)		
L22	1	SEA FILE=HCAPLUS SPE=ON	ABB=ON PLU=ON	(L11 OR L12 OR L13)
		AND L21		
L35	1	SEA FILE=HCAPLUS SPE=ON	ABB=ON PLU=ON	L21 NOT L22

=> D STAT QUE L33 L17 STR



Structure attributes must be viewed using STN Express query preparation.

L30 58 SEA FILE=MARPAT SSS FUL L17

L31 STR

G1 H, Ak, X, [@1]

Structure attributes must be viewed using STN Express query preparation. L33 35 SEA FILE=MARPAT SUB=L30 SSS FUL L31

100.0% PROCESSED 58 ITERATIONS 35 ANSWERS

SEARCH TIME: 00.00.01

=> DUP REM L35 L37 L33

L37 HAS NO ANSWERS

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PROCESSING COMPLETED FOR L35 PROCESSING COMPLETED FOR L37

PROCESSING COMPLETED FOR L33

L38 35 DUP REM L35 L37 L33 (1 DUPLICATE REMOVED)

ANSWER '1' FROM FILE HCAPLUS ANSWERS '2-35' FROM FILE MARPAT

=> D IBIB ED ABS HITSTR 1; D IBIB AB QHIT 2-35

L38 ANSWER 1 OF 35 HCAPLUS COPYRIGHT 2009 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2004:546480 HCAPLUS Full-text

DOCUMENT NUMBER: 141:89019

TITLE: Substituted biphenyl-4-carboxylic acid arylamide

analogues as VR1 receptors modulators

INVENTOR(S): Bakthavatchalam, Rajagopal; Blum, Charles A.;

Brielmann, Harry; Darrow, James W.; De Lombaert,

Stephane; Yoon, Taeyoung; Zheng, Xiaozhang

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056774 WO 2004056774	A2 A3	20040708 20041104	WO 2003-US40878	20031219 <
W: AE, AG,	AL, AM, AT	Γ, AU, AZ, BA	A, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR,	CU, CZ, DE	E, DK, DM, D2	Z, EC, EE, ES, FI,	GB, GD, GE, GH,
GM, HR,	HU, ID, II	L, IN, IS, JE	P, KE, KG, KP, KR,	KZ, LC, LK, LR,
LS, LT,	LU, LV, MA	A, MD, MG, MF	K, MN, MW, MX, MZ,	NI, NO, NZ, OM,
PG, PH,	PL, PT, RO	O, RU, SC, SI	D, SE, SG, SK, SL,	SY, TJ, TM, TN,
TR, TT,	TZ, UA, UO	G, US, UZ, VO	C, VN, YU, ZA, ZM,	ZW
RW: BW, GH,	GM, KE, LS	S, MW, MZ, SI	O, SL, SZ, TZ, UG,	ZM, ZW, AM, AZ,
BY, KG,	KZ, MD, RU	J, TJ, TM, AT	I, BE, BG, CH, CY,	CZ, DE, DK, EE,
ES, FI,	FR, GB, GI	R, HU, IE, II	I, LU, MC, NL, PT,	RO, SE, SI, SK,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2510471 20040708 CA 2003-2510471 20031219 <--Α1 AU 2003299797 Α1 20040714 AU 2003-299797 20031219 <--EP 1575918 Α2 20050921 EP 2003-800070 20031219 <--R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 20060100245 Α1 20060511 US 2006-539860 20060103 <--PRIORITY APPLN. INFO.: P 20021219 <--US 2002-435118P WO 2003-US40878 W 20031219 <--

Ι

ΙI

OTHER SOURCE(S): MARPAT 141:89019

ED Entered STN: 08 Jul 2004

GΙ

AB The title compds. [such as I; A, B, D, E, W, X, Y, Z = CR1, N; T, U, V = CR8, N; R1 = halo, CN, NO2, etc.; R2 = NO2, CN, NHOH, etc.; R3, R4 = H, halo, alkyl, etc.; R8 = H, halo, OH, etc.] which are capable of modulating capsaicin receptor activity (biol. data given), are provided. E.g., the nicotinamide II was prepared starting from 3-isopropylphenylboronic acid, Me 6-chloronicotinate and 2,3-dihydrobenzo[1,4]dioxin-6-ylamine. Such ligands may be used to modulate receptor activity in vivo or in vitro, and are particularly useful in the treatment of pain and other conditions associated with receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for treating such disorders are provided, as are methods for using such ligands for receptor localization studies.

IT 717115-95-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted biphenyl-4-carboxylic acid arylamide analogs as VR1 receptors modulators for treating pain associated with various conditions)

RN 717115-95-2 HCAPLUS

CN Benzamide, 4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-N-[4-(1,1-

dimethylethyl)phenyl]- (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 2 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 149:402379 MARPAT Full-text

TITLE: Preparation of benzamide derivatives for treating

proliferative diseases

INVENTOR(S): Li, Shuxin; Liu, Yongxue; Zhao, Yanjin; Han,

Chunguang; Kuang, Xianzhao; Huang, Linyi; Xiao,

Wensong; Sun, Xiaomei; Deng, Xiaodong; Xue, Yang; Ye,

Qingquan

PATENT ASSIGNEE(S): The Institute of Radiation Medicine, Academy of

Military Medical Sciences, Pla, Peop. Rep. China

SOURCE: PCT Int. Appl., 77pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

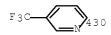
	PATENT NO.			KIND DATE				APPLICATION NO.					DATE					
	WO	2008	1132	55	 A	1	2008	 0925		M	D 20	 08-C1			20080314			
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			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,
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			TG,	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m MT}$							
PRIOF	PRIORITY APPLN. INFO.				.:					CI	N 20	07-1	0086.	587	2007	0316		
										Cl	N 20	07-1	0143	940	2007	0815		

AB Title compds. [I; wherein X1 to X4 = independently H, halo, alkyl, etc.; Y = NH2 or OH; A = HC=CH or absent; B = (un)substituted (hetero)aryl, etc.], and their pharmaceutically acceptable salts thereof, were prepared I are useful in the treatment of proliferative diseases, such as leukemia or solid tumor. Thus, the invention compound II was prepared and gave a HL60 inhibition GI50 value of $0.0434~\mu M$.

MSTR 1

$$\begin{array}{c}
G5 \\
G26 \\
G4
\end{array}$$

G1 = 430



G2 = p-C6H4 G3 = C(0) G26 = 12

 15_{14}^{G1} 213_{12}^{G3} NH

Patent location: claim 1

Note: or pharmaceutically acceptable salts

Note: also incorporates claim 30

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 3 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 148:121478 MARPAT Full-text

TITLE: Biaryl compositions and methods for modulating a

kinase cascade and their preparation

INVENTOR(S): Hangauer, David G., Jr.

PATENT ASSIGNEE(S): Kinex Pharmaceuticals, LLC, USA

SOURCE: PCT Int. Appl., 238pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008002676	A2	20080103	WO 2007-US15273	20070629
WO 2008002676	А3	20080502		
WO 2008002676	A9	20080703		
W: AE, AG,	AL, AM	, AT, AU, AZ,	BA, BB, BG, BH, BR	, BW, BY, BZ, CA,

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,

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GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
             MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
         TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
                                            US 2006-480174
     US 20070015752
                       Α1
                             20070118
                                                              20060629
                                            AU 2007-265373
     AU 2007265373
                       Α1
                             20080103
                                                              20070629
                       Α1
                             20081023
                                            WO 2008-US4847
     WO 2008127728
                                                              20080414
            AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
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             FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
             KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
             ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
             PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
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             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
                                             US 2006-480174
                                                              20060629
PRIORITY APPLN. INFO.:
                                             US 2007-923496P
                                                              20070413
                                             US 2004-639834P
                                                              20041228
                                             US 2005-704551P
                                                              20050801
                                             US 2005-727341P
                                                              20051017
                                             US 2005-321419
                                                              20051228
                                             WO 2007-US15273
                                                              20070629
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AΒ The invention relates to compds. of formula I and methods for modulating one or more components of a kinase cascade. Compds. of formula I wherein T is a bond, (un) substituted methylene, CO, O, S, SO, SO2, NH and derivs., etc.; X1, X4, X5, X6, and X7 are independently CH, C-OH, N, NO, C-halo, C-SO3H, etc.; X2 and X3 are independently CZ, CY N, and NO; and at least one of X2 and X3 is CZ; Y is H, halo, C1-6 alkyl, C1-6 alkoxy, C1-6 alkyl-aryl and OBn; Z is (un) substituted C0-2alkyl-CONH-C0-2alkyl(hetero)aryl; and their salts, hydrates, solvates and prodrugs thereof, are claimed. Example compound II was prepared by chlorination of biphenyl-4-acetic acid; the resulting biphenyl-4acetyl chloride underwent amidation with 3-benzyloxybenzylamine hydrochloride to give the corresponding amide, which underwent debenzylation to give compound II. All the invention compds. were evaluated for their kinase cascade modulatory activity and tumor growth inhibition. From the assay, it was determined that compound II exhibited 83.1 % growth inhibition at 50 nM and a GI50 value of 484 nM against HT-29. Compound II also exhibited 13.0 % growth inhibition at 100 nM and a GI50 value of 53 nM against c-Scr 3T3.

MSTR 1

162-93-1920

G1 = 163

 $G3 = 101-162 \ 100-142$

G4 = 19

19(0)-G5——G8

G5

= NH = Ph (opt. substd.) G8

G10 = alkyl <containing 1-6 C> (opt. substd. by G16)

G14 = N / 121

121 G10

Patent location: claim 1

Note: or N-oxides, salts, solvates, hydrates, or prodrugs

Note: substitution is restricted

additional substitution and heteroatom Note:

interruptions also disclosed

L38 ANSWER 4 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 149:53718 MARPAT <u>Full-text</u>

TITLE: Aromatic 1,4-dicarboxylamides for treatment of Wnt

pathway-dependent diseases

INVENTOR(S): Garcia, Gabriel; Daram, Pierre; Froesch, Barbara;

Lemaillet, Guy; Scapozza, Leonardo

The Genetics Company, Inc., Switz. PATENT ASSIGNEE(S):

Eur. Pat. Appl., 28pp. SOURCE:

CODEN: EPXXDW

Patent DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----- --- --- ---- ----- ----- ------ EP 1932834 A1 20080618 EP 2006-25620 20061211

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS WO 2008071397 Α1 20080619 WO 2007-EP10829 20071211 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

EP 2006-25620 20061211

The invention relates to compds. of formula I [X, Y, Z = C, N; n = 1-3; m = 0, 1; p = 0-6; R1, R2 = H, halogen, OH, C1-3 alkyl, C1-3 alkoxy; R3 = H, halogen, C1-5 alkyl, carboxy, carbomethoxy, carboethoxy, benzyl, acyl, OH, C1-4 alkoxy, CF3, CN, morpholino, 1,3-dioxolyl, N-acetylamino group, amido group, saturated 5-8 membered ring, heterocycle; R6 = H, part of alicyclic group, heteroalicyclic group; A = CF3, C1-4 alkyl, group, CH2O, CH2, CH2CH2, CH2CH2CH2, bond between N-C or C-C; B = (substituted) Ph, pyridinyl, naphthyl, quinolinyl, isoquinolinyl, isoxaxolinyl, thiophenyl, 1,3,4-thiadiazazolidinyl, furanyl, tetrahydroquinolinyl, tetrahydroisoquinolinyl, morpholinyl, furanyl, cyclohexenyl, chromen-2-on-yl]. The compds. of this invention areto be used as medicaments for the treatment of Wnt pathway-dependent diseases, such as cancer. Compound II was subjected to ELISA-based protein-protein interaction assay and showed advantageous results in terms of reactivity and specificity towards the β -Catenin/BCL9-BCL9L interaction.

MSTR 1

$$G1 = 18-1 22-36$$

$$G2 = N / 11$$

G4 = bond

G5 = 742 - 738 741 - 2

G6 = 38-2 39-37

36 (0)3NH

G15 = Ph (opt. substd. by (1-2) G17) Patent location: claim 1

Note: additional ring formation also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 5 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 147:344070 MARPAT Full-text TITLE: Preparation of substituted

1-phenyloctahydropyrrolo[3,4-b]pyrrole derivatives as

histamine H3 receptor ligands

INVENTOR(S):

Cowart, Marlon D.; Zhao, Chen; Sun, Minghua; Black,
Lawrence A.; Zheng, Guo Zhu; Gregg, Robert J.; Zhang,
Geoff G. Z.; Sheikh, Ahmad Y.; Lou, Xiaochun; Henry,
Rodger F.; Barnes, David M.; Kolaczkowski, Lawrence;

Haight, Anthony R.; Chang, Sou-Jen; Wittenberger,

Steven J.; Fickes, Michael G. IGNEE(S): Abbott Laboratories, USA

PATENT ASSIGNEE(S): Abbott Laboratories, US SOURCE: PCT Int. Appl., 147pp.

SOURCE: PCT Int. Appl., 147pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE	
WO 2007100990	A2 2007090	7 WO 2007-US62329 20070216	
WO 2007100990	A3 2007101	8	
W: AE, AG,	AL, AM, AT, AU	, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,	CH,
CN, CO,	CR, CU, CZ, DE	, DK, DM, DZ, EC, EE, EG, ES, FI, GB,	GD,
GE, GH,	GM, GT, HN, HF	, HU, ID, IL, IN, IS, JP, KE, KG, KM,	KN,
KP, KR,	KZ, LA, LC, LF	, LR, LS, LT, LU, LV, LY, MA, MD, MG,	MK,
MN, MW,	MX, MY, MZ, NA	, NG, NI, NO, NZ, OM, PG, PH, PL, PT,	RO,
RS, RU,	SC, SD, SE, SC	, SK, SL, SM, SV, SY, TJ, TM, TN, TR,	TT,
TZ, UA,	UG, US, UZ, VO	, VN, ZA, ZM, ZW	
RW: AT, BE,	BG, CH, CY, CZ	, DE, DK, EE, ES, FI, FR, GB, GR, HU,	IE,
IS, IT,	LT, LU, LV, MO	, NL, PL, PT, RO, SE, SI, SK, TR, BF,	ВJ,
CF, CG,	CI, CM, GA, GN	, GQ, GW, ML, MR, NE, SN, TD, TG, BW,	GH,
GM, KE,	LS, MW, MZ, NA	, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,	BY,
KG, KZ,	MD, RU, TJ, TN	AP, EA, EP, OA	
US 20070232612	A1 2007100	4 US 2007-674518 20070213	
AU 2007220889	A1 2007090	7 AU 2007-220889 20070216	
CA 2641624	A1 2007090	7 CA 2007-2641624 20070216	
EP 2001885	A2 2008121	7 EP 2007-757130 20070216	
R: AT, BE,	BG, CH, CY, CZ	, DE, DK, EE, ES, FI, FR, GB, GR, HU,	IE,

IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS IN 2008DN06853 Α 20081024 IN 2008-DN6853 20080808 MX 2008010805 20080901 MX 2008-10805 20080822 Α NO 2008004056 20081027 NO 2008-4056 20080924 Α KR 2008106295 20081204 KR 2008-723374 20080924 Α PRIORITY APPLN. INFO.: US 2006-776509P 20060224 WO 2007-US62329 20070216

Title compds. I [R1 = alkyl, cycloalkyl, cycloalkylmethyl; R2-R7 = AΒ independently H, Me, fluoromethyl; R8-R11 = independently H, fluoro/alkyl, fluoro/thio/alkoxy, halo, CN, with the proviso that when ≥ 1 of R8-R11 = alkyl, then at least ≥1 of R8-R11 = fluoroalkyl, fluoro/thio/alkoxy,, halo, CN; L1, L2 = independently a bond, O, S, CO, alkylene, alkylcarbonyl, alkylamino, NH and derivs., etc.; Cy1 = (hetero)aryl, cycloalk(en)yl, heterocyclyl; Cy2 = Cyl, wherein the heteroaryl and heterocyclyl moiety has 1-3 heteroatoms selected from N, O, and S, provided that at least 1 heteroatom is N; with further proviso; and their pharmaceutically acceptable salts, esters, amides, prodrugs, and radiolabeled forms] were prepared as histamine H3 receptor ligands. Thus, reaction of (3aR,6aR)-5-methylhexahydropyrrolo[3,4-b]pyrrole (preparation given) with 4,4'-dibromobiphenyl in the presence of tris(dibenzylideneacetone)dipalladium, rac-2,2'-bis(diphenylphosphino)-1,1'binaphthyl and sodium tert-butoxide in toluene at 70° and heating of the bromide with 3(2H)-pyridazinone in the presence of copper and K2CO3 in quinoline at 150° gave octahydropyrrolopyrrole II. Crystal structure of two assay, preferred octahydropyrrolo[3,4-b]pyrroles I bound to histamine H3 receptors with binding affinities from about 0.5 nM to about 100 nM. I are useful for treating conditions or disorders prevented by or ameliorated by histamine-3 receptor ligands (no data).

MSTR 1

 $G5 = 45-12 \ 46-282$

4511-48(0)

G11 = NH G12 = bond

 $G13 = 297-19 \ 300-76$

G14 = pyridyl (opt. substd. by CN)

G15 = 21

29122914

G33 = 20

26137615

G34 = 9

G4 G4 G5 G4 G4 G4 G4

Patent location: claim 1

Note: or pharmaceutically acceptable salts, esters,

amides, prodrugs, or radiolabeled forms

Note: substitution is restricted Note: also incorporates claim 16

L38 ANSWER 6 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 146:482081 MARPAT Full-text

TITLE: (Hetero) aryl compounds with MCH antagonistic activity

and medicaments comprising these compounds and their preparation and use in the treatment of metabolic and

eating disorders

INVENTOR(S): Roth, Gerald Juergen; Mueller, Stephan Georg;

Lehmann-Lintz, Thorsten; Stenkamp, Dirk; Lustenberger, Philipp; Kley, Joerg; Rudolf, Klaus; Heckel, Armin; Schindler, Marcus; Thomas, Leo; Lotz, Ralf R. H.

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany;

Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.

SOURCE: PCT Int. Appl., 284pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2007048802 A1 20070503 WO 2006-EP67750 20061025

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

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GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
             KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
            MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
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                                                            20061025
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     IN 2008DN02526
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PRIORITY APPLN. INFO.:
                                          EP 2005-110014
                                                            20051026
                                          WO 2006-EP67750 20061025
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The invention relates to (hetero) aryl compds. of general formula I. Compds. of formula I wherein R1 and R2 are independently H, (un) substituted C1-8 alkyl, and (un)substituted C3-8 cycloalkyl; R1R2 taken together to form a C3-8 alkylene bridge, wherein a CH2 group not adjacent to N may be replaced by heteroatom; R2 may be linked to Y by C1-3 alkylene bridge; X is (un) substituted C1-4 alkylene; Q and Z are independently CR3aR3b, O and NH and derivs.; Y and A are independently (un)substituted 5- to 6-membered (un) saturated aromatic carbocycle; R3a, R3b, R4a, R4b, R5a and R5b are independently H and 1-3 alkyl; when B is carbocycle and heterocycle and W is single bond, CH2, O, NH and derivs., OCH2, NHCH2 and derivs., CH2O, CH2NH and derivs., and CH2CH2; when B is halo, CN, C1-6 alkyl, C1-6 alkoxy, C2-6 alkenyl, etc., W is single bond; and their tautomers, diastereoisomers, enantiomers, and mixts. thereof, and pharmaceutically acceptable salts thereof, are claimed. Moreover the invention relates to pharmaceutical compns. containing at least one compound according to the invention. By virtue of their MCH-receptor antagonistic activity the pharmaceutical compns. according to the invention are suitable for the treatment of metabolic disorders and/or eating disorders, particularly obesity, bulimia, anorexia, hyperphagia and diabetes. Example compound II was prepared by arylation of 3-(4'-chlorobiphenyl-4-yl)propylamine with 1-(4-iodobenzyl)-4-methylpiperidine. All the invention compds. were evaluated for their MCH antagonistic activity. From the assay, it was determined that the tested compds. exhibited pKB values in the range of 10-10 to 10-5 M.

MSTR 1

```
G1-G2-G3-G4
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G2 = alkylene <containing 4 or more C>
G3 = 193-2 190-4
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G4 = Ph (opt. substd. by 1 or more G29)

G29 = 77

7**9**(0)**-**G45

G45 = 79

₽Ŋ**—**G46

G46 = Ph

INVENTOR(S):

Patent location: claim 1

Note: and tautomers and salts
Note: substitution is restricted

Note: additional derivatization also claimed Stereochemistry: and diastereomers, enantiomers and mixtures

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 7 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 146:274235 MARPAT Full-text

TITLE: Preparation of heterocyclylcarboxylates as modulators

of EDG/S1P receptor mediated signal transduction Gao, Wenqi; Wan, Yongqin; Jiang, Jiqing; Fan, Yi;

Gray, Nathanael S.; Pan, Shifeng

PATENT ASSIGNEE(S): Irm LLC, Bermuda
SOURCE: PCT Int. Appl., 49pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KI	ND I	DATE			Al	PPLI	CATI	ои ис	o. :	DATE			
WO 200702492	 22	.1 2	20070301			 TAT (20	:	 5328'	· 77	2006	0822		
W: AE,	-												CA,	CH,
CN,	CO, CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
GE,	GH, GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,
KR,	KZ, LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
MW,	MX, MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
RU,	SC, SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,
UA,	UG, US,	UZ,	VC,	VN,	ZA,	ZM,	ZW							
RW: AT,	BE, BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
IS,	IT, LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
CF,	CG, CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,

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GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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    AU 2006283175
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                                        AU 2006-283175
                                                          20060822
    CA 2619101
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                      Α1
    EP 1917240
                      Α1
                           20080507
                                        EP 2006-813662 20060822
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
                    T 20090212 JP 2008-528097 20060822
    JP 2009506046
    IN 2008DN01434
                     A 20080808
                                         IN 2008-DN1434 20080219
                                         MX 2008-2540
    MX 2008002540
                     A 20080314
                                                          20080222
                                         KR 2008-706864 20080321
    KR 2008047410
                     Α
                         20080528
    CN 101291908 A
                                         CN 2006-80038745 20080417
                           20081022
                                          US 2005-710781P 20050823
PRIORITY APPLN. INFO.:
                                          WO 2006-US32877 20060822
OTHER SOURCE(S):
                        CASREACT 146:274235
     Title compds. e.g. [I; A = cyano, X1CO2R3, X1OP(0)(OR3)2, X1CON(R3)2,
     X1SO2OR3, 1H-tetrazol-5-yl, etc.; B = CR4:CR5, CR4:N, S, NR4; X1 = bond,
     alkylene, alkenylene; R3 = H, alkyl; R4, R5 = H, halo, alkyl; Q = CR4, N; L =
     X2OX3, X2NR3X3, X2CONR3X3, X2NR3COX3, etc.; X2, X3 = bond, alkylene,
     alkenylene; Y = bond, O, S, SO, SO2, NR3, CH2, CH2CH2; n = 0-3; R1 =
     (substituted) aryl, heteroaryl; R2 = halo, cyano, NO2, alkoxy, alkyl], were
     prepared Thus, 5-[4-(2'-fluoro-2-trifluoromethylbiphenyl-4-
     yloxymethyl)phenyl]pyridine-2-carboxylic acid (preparation from Me 5-
     bromopicolinate, 4-hydroxymethylphenylboronic acid, 4-bromo-3-
     trifluoromethylphenol, and 2-fluorophenylboronic acid given) showed an EC50 =
     0.9 nM in a scintillation proximity assay for measuring GTP binding to
     membranes from CHO cells expressing human EDG-1 receptors.
 MSTR 1
 Ģ1——Ģ2——Ģ3——Ģ4
G2
      = 157-1 158-3
1573-1519
G3
      = 16-2 18-4
167-19(0)-68
G4
      = Ph (opt. substd. by (1-2) G33)
      = alkylene <containing 1-3 C>
G7
G8
G19
      = phenylene (opt. substd. by 1 or more G20)
G23
      = 241-1 238-158
```



G26 = 369

369 G25

Patent location: claim 1

Note: and pharmaceutically acceptable salts Note: additional interruption also claimed

Note: substitution is restricted

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 8 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 146:162921 MARPAT Full-text

TITLE: Biaryl compositions and methods for modulating a

kinase cascade, preparation, pharmaceutical

compositions, and use in the treatment of diseases

INVENTOR(S): Hangauer, David G.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 156pp., Cont.-in-part of U.S.

Ser. No. 321,419. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070015752	A1	20070118	US 2006-480174	20060629
US 20060160800	A1	20060720	US 2005-321419	20051228
US 7300931	B2	20071127		
US 20070197783	A1	20070823	US 2007-796200	20070426
AU 2007265373	A1	20080103	AU 2007-265373	20070629
WO 2008002676	A2	20080103	WO 2007-US15273	20070629
WO 2008002676	A3	20080502		
WO 2008002676	A9	20080703		
W: AE, AG,	AL, AM,	AT, AU, AZ,	BA, BB, BG, BH, BR,	BW, BY, BZ, CA,
CH, CN,	CO, CR,	CU, CZ, DE,	DK, DM, DO, DZ, EC,	EE, EG, ES, FI,
GB, GD,	GE, GH,	GM, GT, HN,	HR, HU, ID, IL, IN,	, IS, JP, KE, KG,
KM, KN,	KP, KR,	KZ, LA, LC,	LK, LR, LS, LT, LU,	LY, MA, MD, ME,
MG, MK,	MN, MW,	MX, MY, MZ,	NA, NG, NI, NO, NZ,	OM, PG, PH, PL,
PT, RO,	RS, RU,	SC, SD, SE,	SG, SK, SL, SM, SV,	SY, TJ, TM, TN,
TR, TT,	TZ, UA,	UG, US, UZ,	VC, VN, ZA, ZM, ZW	
RW: AT, BE,	BG, CH,	CY, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,
IS, IT,	LT, LU,	LV, MC, MT,	NL, PL, PT, RO, SE,	SI, SK, TR, BF,
BJ, CF,	CG, CI,	CM, GA, GN,	GQ, GW, ML, MR, NE,	SN, TD, TG, BW,
GH, GM,	KE, LS,	MW, MZ, NA,	SD, SL, SZ, TZ, UG,	ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.:

US 2004-639834P 20041228

US 2005-704551P 20050801

US 2005-727341P 20051017

US 2005-321419 20051228

US 2006-480174 20060629

US 2007-923496P 20070413

WO 2007-US15273 20070629

The invention relates to compds. of formula I and methods for modulating one or more components of a kinase cascade. Compds. of formula I wherein T is CO, O, S, SO, CO2, (un)substituted methylene, NH and derivs. etc.; Y and Z are independently (un)substituted alkylcarbonylamine derivs., N, NO, CH, etc.; A, B, C, D, and E are independently CH, N, N-O, COH, etc.; and their pharmaceutically acceptable salts, solvates, hydrates, and prodrugs thereof, are claimed. Example compound II was prepared by hydrogenation of N-(3-benzyloxybenzyl)-biphenyl-4-acetamide. All the invention compds. were evaluated for their kinase modulatory activity (data given).

MSTR 1

$$G1 = 163$$



$$G3 = 101-162 \ 100-142$$

$$G4 = 19$$

```
G5 = NH

G8 = Ph (opt. substd.)

G10 = alkyl <containing 1-6 C> (opt. substd. by G16)

G14 = N / 121
```

121G10

Patent location: claim 1

Note: or N-oxides, salts, solvates, hydrates, or prodrugs

Note: substitution is restricted

Note: additional substitution and heteroatom

interruptions also disclosed

L38 ANSWER 9 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 145:124470 MARPAT Full-text

TITLE: Preparation of pyridine biaryls for use as anticancer

agents and in treating cell proliferation disorders

INVENTOR(S): Hangauer, David G.

PATENT ASSIGNEE(S): Kinex Pharmaceuticals, LLC, USA

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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KIND DATE APPLICATION NO. DATE
     PATENT NO.
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                                              _____
     WO 2006071960 A2 20060706 WO 2005-US47333 20051228 WO 2006071960 A3 20070524
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
              KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
              MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
              SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
              VN, YU, ZA, ZM, ZW
          RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
              CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
              GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
              KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
     AU 2005321966 A1 20060706 AU 2005-321966 20051228
CA 2594345 A1 20060706 CA 2005-2594345 20051228
EP 1836169 A2 20070926 EP 2005-855828 20051228
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
              BA, HR, MK, YU
     JP 2008525530 T 20080717 JP 2007-549605 20051228
     MX 200707910 A 20080829 MX 2007-7910 20070627 IN 2007KN02504 A 20070824 IN 2007-KN2504 20070705 KR 2007099622 A 20071009 KR 2007-717102 20070724 CN 101184734 A 20080521 CN 2005-80048796 20070828
PRIORITY APPLN. INFO.:
                                               US 2004-639834P 20041228
                                               US 2005-704551P 20050801
                                               US 2005-727341P 20051017
                                               WO 2005-US47333 20051228
```

AB Pyridine biaryl derivs. I, wherein T is absent or linked with an alkyl, carbonyl, ether thio chain; X1-X7 are (un)substituted C, N, N-oxide; R1-R3 are independently H, OH, halogen, (un)substituted alkyl, (un)substituted aryl are prepared Thus, II was prepared and displayed in vitro inhibition of colon

tumor and lung cancer cells (GI50 105 nM for colon cells and 280 nM for lung cancer cells.). Further, I can be used in modulating tyrosine kinase inhibition and useful in the treatment cell proliferation disorders.

MSTR 1

162-93-1420

G1 = 163



 $G3 = 101-162 \ 100-142$



G4 = 19

19(0)-G5—G8

G5 = NH

G8 = Ph (opt. substd.)

G10 = alkyl <containing 1-6 C> (opt. substd. by G16)

G14 = N / 121

121 G10

Patent location: claim 1

Note: or N-oxides, salts, solvates, hydrates, or prodrugs

Note: substitution is restricted

Note: additional substitution and heteroatom

interruptions also disclosed

L38 ANSWER 10 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 142:367707 MARPAT Full-text

TITLE: Hedgehog pathway antagonists for treatment of

proliferative disorders

INVENTOR(S): Beachy, Philip A.; Chen, James K.; Taipale, Anssi J.

PATENT ASSIGNEE(S): The Johns Hopkins University, USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.		KI	ND	DATE			APPLICATION NO. DA				DATE						
	WO	2005	0332	88	A2		2005	0414		M.	0 20	04-U	S324	 82	2004	 0929		
	WO	2005	0332	88	A.	3	2005	1013										
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
			ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
			SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,	ΝE,
			SN,	TD,	ΤG													
	US	2007	0232	661	А	1	2007	1004		U	S 20	07-5	7394	5	2007	0307		
PRIO	PRIORITY APPLN. INFO.:								US 2003-507164P				4P	20030929				
									M	O 20	04-U	S324	82	2004	0929			

AB Aromatic compds. for treating various diseases and pathologies are disclosed. The methods for use of such compds. are also provided. Accordingly, the present invention makes available methods and compns. for inhibiting aberrant growth states resulting from hedgehog gain-of-function, ptc loss-of-function or smoothened gain-of-function.

MSTR 1

G1 = alkyl

G2 = Ph (opt. substd. by (1-2) G3) Patent location: claim 1

Note: or pharmaceutically acceptable salts

L38 ANSWER 11 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 143:146731 MARPAT Full-text

TITLE: Combination therapy with 5-HT1A and 5-HT1B receptor antagonists for treatment of neuromuscular dysfunction

of the lower urinary tract

INVENTOR(S): Leonardi, Amedeo; Guarneri, Luciano; Testa, Rodolfo

PATENT ASSIGNEE(S): Recordati Ireland Ltd., Ire.

SOURCE: U.S. Pat. Appl. Publ., 41 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.			KII	KIND DATE			A.	PPLI	CATI	ON N	Ο.	DATE					
US	2005	 0165	025	A	1	2005	0728		U	S 20	 05-4	 1086		2005	0121			
WO	2005	0704	60	A.	2	2005	0804		M	20	05-E	P719		2005	0124			
WO	2005	0704	60	A.	3	2007	0208											
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	SM
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	ΤG												
EP	1706	147		A.	2	2006	1004		E.	P 20	05-7	0117	8	2005	0124			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,	
		BA,	HR,	IS,	ΥU													
IORIT	Y APP	LN.	INFO	.:					U	S 20	04-5	3873	8P	2004	0122			
									M	O 20	05-E	P719		2005	0124			

AB The invention describes the use of combinations of mols. endowed with antagonistic activity toward the serotonin 5-HT1A or 5-HT1B receptor, and of mols. simultaneously endowed with antagonistic activity at both receptors. These compds. and their enantiomers, diastereoisomers, N-oxides, polymorphs, solvates, prodrugs, and pharmaceutically acceptable salts are useful in the treatment of patients with neuromuscular dysfunction of the lower urinary tract. Also described are pharmaceutical compns. containing them. Also provided is a method of therapeutic treatment of urinary disorders in a mammal, including a human, comprising administering to the mammal, including human, in need of such treatment, a therapeutically effective amount of a composition according to the invention.

MSTR 12

```
G1 = phenylene (opt. substd. by (1) G2)
G3 = pyridyl (opt. substd. by (1-2) G4)
```

G4 = alkyl <containing 1-6 C> (opt. substd. by OH)

G10 = 22-2 23-4

25 (0) NH

Patent location: claim 3

Note: or pharmaceutically acceptable salts, N-oxides,

crystalline forms, hydrates, solvates, active

metabolites, or prodrugs

Stereochemistry: or enantiomers or diastereomers

L38 ANSWER 12 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 143:106084 MARPAT Full-text

TITLE: Photopolymerizable nematic liquid crystal

compositions, their polymerized materials and

transparent compositions with good adhesion, and films

and optical retarders using them

INVENTOR(S): Hirai, Yoshiharu; Yanai, Motoki; Saegusa, Kazuhiko;

Harufuji, Tatsuji

PATENT ASSIGNEE(S): Chisso Corp., Japan; Chisso Petrochemical Corporation

SOURCE: Jpn. Kokai Tokkyo Koho, 216 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
JP 2005171235	A	20050630	JP 2004-331414	20041116		
KR 2005048502	A	20050524	KR 2004-93918	20041117		
US 20050213009	A1	20050929	US 2004-992565	20041119		
US 7425354	В2	20080916				
PRIORITY APPLN. INF	o.:		JP 2003-388976	20031119		

AB The polymerizable compns. comprise oxiranyl-containing compds. and oxetanyl-containing compds. The compds. are preferably selected from those having the oxiranyl (oxetanyl) group on one terminal, those having the groups on the both terminals, and those having the groups on the both terminals and a fluorene structure. The compns. may further contain chiral compds.

MSTR 1A

Ģ1—— Ģ3—— Ģ10—— Ģ25—— Ģ30

 $G10 = 152-2 \ 153-4$

1525-1536

G14 = CH

 $G15 = 171-2 \ 168-153$

 $G16 = 262-152 \ 263-4$

26272630)

G17 = NH

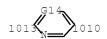
G25 = 874-3 875-5

8946-8937

G26 = 887 - 3 884 - 875



 $G27 = 1013 - 874 \ 1010 - 5$



G30 = carbon chain <containing 2-30 C,

0 or more double bonds, no triple bonds>

Patent location: claim 2

Note: additional interruptions of alkyl groups also

claimed

Note: substitution is restricted

Note: also incorporates claim 3, structures 2-1 and 2-2

L38 ANSWER 13 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 142:392289 MARPAT Full-text

TITLE: Preparation of (hetero)aryl amides as ion channel

ligands

INVENTOR(S): Kelly, Michael; Janagani, Satyanarayana; Wu, Guoxian;

Kincaid, John

PATENT ASSIGNEE(S): Renovis, Inc., USA

SOURCE: Brit. UK Pat. Appl., 131 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

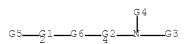
PATENT INFORMATION:

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KIND DATE
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                                        APPLICATION NO. DATE
    _____
                                        _____
    GB 2406856 A 20050413
                                        GB 2004-22296 20041007
                    В 20051019
    GB 2406856
    CA 2541299
                    A1 20050414
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    WO 2005032493
                    A2 20050414
                                         WO 2004-US33403 20041007
                  А3
                         20050909
    WO 2005032493
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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                                         WO 2004-US33099 20041007
    WO 2005034870
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    WO 2005034870
                    А3
                          20050623
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            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
    US 20050192293
                         20050901
                                         US 2004-962195
                                                         20041007
                    A1
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                         20080304
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                                         US 2004-961817
                                                         20041007
                    Α
                                         GB 2005-9754
    GB 2413129
                          20051019
                                                         20041007
                         20060802
                                                        20041007
                    A2
                                         EP 2004-809916
    EP 1685109
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
    BR 2004015167
                   A 20061128 BR 2004-15167
                                                         20041007
    JP 2007525482
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                          20070906
                                        JP 2006-534432
                                                         20041007
    MX 2006003949
                          20060627
                                        MX 2006-3949
                                                         20060407
                     Α
    US 20080200524
                    A1 20080821
                                         US 2007-982351
                                                         20071101
PRIORITY APPLN. INFO.:
                                         US 2003-508865P
                                                         20031007
                                         US 2004-575937P 20040601
                                         GB 2004-22296
                                                         20041007
                                         US 2004-962195
                                                         20041007
                                         WO 2004-US33403 20041007
OTHER SOURCE(S):
                       CASREACT 142:392289
```

Title compds. I [A = N, CR4, a carbon atom bound to L, or is not an atom; oneAB of W, Z, B, Y, X = carbon atom bound to L if A is not an atom, another of W, Z, B, Y, X = carbon atom bound to G, and each of the remaining W, Z, B, Y and X is independently N or CR4; L = bond, (CH2)n; n = 1-3; G = CO, CS, SO2; R1 = COalkyl, heteroalkyl, aryl, etc.; R2 = H, alkyl; R3 = alkyl, heteroalkyl, aryl, etc.; R4 = H, alkyl, etc.] are prepared For instance, 4-(3-chloropyridin-2yl)-N-(4-(trifluoromethyl)phenyl)benzamide (II) is prepared from 4-(3chloropyridin-2-yl)benzoic acid (preparation given) and 4-

trifluoromethylaniline (CH2Cl2, CO2Cl2, DMF). II did not significantly inhibit CYP2C9, CYP2D6 and CYP3A4 but exhibits inhibition for CYP2Cl9 (IC50 = $26.85~\mu\text{M}$) and CYP1A2 (IC50 = $97.45~\mu\text{M}$). I are useful in the treatment of pain, inflammation and traumatic injury.

MSTR 1



G1 = (0-3) CH2

G2 = C(0)

G3 = Ph (opt. substd. by (1-5) G10)

G5 = pyridyl (opt. substd. by (1-4) G17)

G6 = 27-2 24-4



G11 = 28

28----G12

G17 = alkyl (opt. substd.)

Patent location: claim 1

Note: or pharmaceutically acceptable salts, solvates or

prodrugs

Stereochemistry: and stereoisomers

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 14 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 141:140459 MARPAT Full-text

TITLE: Preparation of sulfamides as anti-cancer agents

INVENTOR(S): Flynn, Daniel L.; Petrillo, Peter A. PATENT ASSIGNEE(S): Deciphera Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 10 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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                                       WO 2003-US41425 20031226
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            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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PRIORITY APPLN. INFO.:
                                        US 2002-437304P 20021231
                                        US 2002-437403P 20021231
                                        US 2002-437415P 20021231
                                        US 2002-437487P 20021231
                                        US 2003-463804P 20030418
                                        US 2003-437804P 20030103
                                        US 2003-746460
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                                        US 2003-746545
                                                       20031224
                                        US 2003-746607
                                                        20031224
                                        WO 2003-US41425 20031226
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AB Sulfamides, such as I, were prepared for use as anticancer agents which act by modulating the activation states of abl or bcr-abl α -kinase proteins. Thus, 4-HO2CC6H4CH2NHSO2NHCOR [R = pyrrolidino], prepared from 4-MeO2CC6H4CH2NH2 and pyrrolidine, was treated with the pyrimidinylaminoaniline fragment to give I, which showed 10% inhibition of non-phosphorylated abl kinase at 10 μ M.

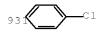
MSTR 1A

Ģ2**—**Ģ3

$$G_1 - G_2 = 9$$

$$G_1 - G_2 = 9$$

G2 = 931



G3 = 20-8 21-2

265-2G(0)

G5 = NH G10 = bond G14 = phenylene G17 = 339-3 342-5



G18 = carbon chain

Patent location: claim 1

Note: substitution is restricted

Note: additional ring formation also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 15 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 140:339324 MARPAT Full-text

TITLE: Preparation of anthranilamide derivatives for

controlling invertebrate pests

INVENTOR(S): Lahm, George Philip; Selby, Thomas Paul; Stevenson,

Thomas Martin

PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			KIND		DATE			APPLICATION NO.					DATE			
WO 2004033468			А	1	20040422			WO 2003-US31677				77	20031001			
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	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
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PRIORITY APPLN. INFO.:
                                            US 2002-416364P
                                                             20021004
                                            WO 2003-US31677 20031001
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Title compds. I [wherein R = -U-A-V-B; U, V = independently (un)substituted AΒ alkylene; A = 0, S(0)m, m = 0-2; B = trisubstituted silyl; J = (un)substitutedPh, pyrazolyl, pyrrolyl, pyridinyl, pyrimidinyl; R1 = independently (cyclo)alkyl, alkenyl, alkynyl, haloalkylsulfinyl, benzyl, etc.; R2 = H, (un) substituted (cyclo) alkyl, alkynyl, alkylaminocarbonyl, etc.; R3 = H, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, (di)alkylamino, etc.; n = 0-4; and Noxides or suitable salts thereof] were prepared as insecticides for controlling invertebrate pests. For example, reaction of 3-chloro-2(1H)pyridinone hydrazone with di-Et maleate (55%), followed by bromination with phosphorus oxybromide (95%), gave Et 3-bromo-1-(3-chloro-2-pyridinyl)-4,5dihydro-1H-pyrazole-5- carboxylate. Oxidation of the ester (90%) and hydrolysis (91%), afforded 3-bromo-1-(3-chloro-2-pyridinyl)-1H-pyrazole-5carboxylic acid. Reaction of the acid with methanesulfonyl chloride and 2amino-3-methyl-5-chlorobenzoic acid (96%), followed by amidation with [1-[(trimethylsilylmethyl)thio]propan-2-yl]amine, provided II. The prepared I showed very good to excellent levels of plant protection (20% or less feeding damage) against diamondback moth and fall armyworm. This invention also pertains to a composition comprising at least one compound I and at least one addnl. component selected from the group consisting of a surfactant, a solid diluent and a liquid diluent.

MSTR 1

$$G1 = 94$$

9 G 2 7—G 2 8

G2 = NHG7 = bond

G17 = alkyl < containing 1-6 C >

(opt. substd. by 1 or more G16)

G27 = phenylene (opt. substd. by 1 or more G15)

G28 = pyridyl (opt. substd. by (1-3) G17)

Patent location: claim 1

Note: or salts or N-oxides

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 16 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 140:303540 MARPAT <u>Full-text</u>

TITLE: Preparation of

2-(biarylalkyl)amino-3-(fluoroalkanoylamino)pyridines

as bradykinin B1 antagonists

INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-Mei; Wai,

Jenny Miu-Chun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 20040063761 A1 20040401 US 2003-634966 20030805

PRIORITY APPLN. INFO.: US 2002-401454P 20020806

The title compds. [I; X, Y = CH; or one of X and Y = CH and the other = N; R1, R2 = H, alkyl; R3 = H, alkyl, haloalkyl, substituted alkyl; R4 = H, NO2, halo, etc.; R5 = cycloalkyl substituted with 1-2 F atoms, CHF2, CH2CF3, C2F5, CH2CH2CF3; R61 = (un)substituted alkyl, cycloalkyl, halo, etc.; R62, R63 = H, R61 (with the proviso that not more than one of R61, R62 and R63 is heterocycle); R7 = H, CN, NO2, etc.], useful as bradykinin B1 antagonist compds. for the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway, were prepared and formulated. E.g., a 4-step synthesis of II, starting from 2-amino-4-methyl-3-nitropyridine and 2-fluoro-4-bromobenzyl bromide, was given.

MSTR 1

G14 = 60

₽Ŋ----G12

G16 = 100

1860)-G14

G27 = 251-7 254-9 252-12



G28 = phenylene (opt. substd. by (1) G29)

Patent location: claim 1

Note: substitution is restricted

Note: and pharmaceutically acceptable salts

L38 ANSWER 17 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 140:235608 MARPAT Full-text

TITLE: Preparation of

2-(biarylalkyl)amino-3-(cyanoalkanoylamino)pyridines as bradykinin B1 antagonists for treating pain and

inflammation

INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-mei; Su,

Dai-shi; Wai, Jenny Miu-chun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
US 20040044041 A1 20040304 US 2003-634426 20030805
PRIORITY APPLN. INFO:: US 2002-401386P 20020806

The title compds. [I; m = 1-4; X, Y = CH, or one is CH and the other is N; R1, R2 = H, alkyl; R3 = H, alkyl, haloalkyl, etc.; R4 = H, NO2, halo, etc.; R51, R52 = H, Me; or R51 and R52 together complete cycloalkyl ring; R61 = (un) substituted alkyl, cycloalkyl, alkenyl, etc.; R62, R63 = H, R61; with the proviso that not more than one of R61, R62 and R63 = heterocycle; R7 = H, alkyl, cycloalkyl, aryl, arylalkyl] which are bradykinin B1 antagonist compds. useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway, were prepared and formulated. E.g., a multi-step synthesis of II (starting from 4'-methyl-2-

biphenylcarboxylic acid), was given. The compds. I have affinity for B1 receptor with IC50 values of < 5 $\mu\text{M}.$

MSTR 1

$$G4 = 155$$

1558—G27

$$G5 = 95-80 98-6$$

$$G6 = 12 / 21 / 35$$

1 G 1 6 — G 1 1 2 G (O) — G 1 5 3 G 1 7 — G 1 4

G14 = Ph G15 = 23

2916—G14

G16 = NH G27 = 146

1466—G11

Patent location: claim 1

Note: substitution is restricted

Note: or pharmaceutically acceptable salts

L38 ANSWER 18 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 140:199328 MARPAT Full-text

TITLE: Preparation of

2-(biarylalkyl)amino-3-(alkanoylamino)pyridine derivatives as bradykinin receptor B1 antagonists

INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-mei; Wai,

Jenny Miu-chun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 23 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

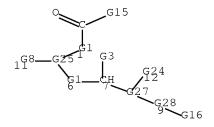
US 20040034064 A1 20040219 US 2003-634402 20030805

PRIORITY APPLN. INFO:: US 2002-401462P 20020806

AB The title compds. (I) [both X and Y = CH, or one is CH and the

The title compds. (I) [both X and Y = CH, or one is CH and the other is N; R1, R2 = H, alkyl; R3 = H, (un)substituted alkyl; R4 = H, NO2, halo, etc.; R5 = alkyl, cycloalkyl, Me substituted with cycloalkyl, aryl, etc.; R6a = (un) substituted alkyl, cycloalkyl, halo, OCF3, etc.; R6b, R6c = H, R6a (with the proviso that not more than one of R6a, R6b, and R6c is a heterocycle); R7 = H, CN, NO2, halo, etc.] or pharmaceutically acceptable salts thereof are prepared and formulated. E.g., a multi-step synthesis of II (starting from 4'-methyl-2-biphenylcarboxylic acid), was given. The compds. I are bradykinin receptor B1 antagonists [IC50 of < 5 μM] and useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin receptor B1 pathway. More specifically these symptoms include (1) osteoarthritis, repetitive motion pain, dental pain, cancer pain, myofascial pain, muscular injury pain, fibromyalgia pain, and perioperative pain and (2) inflammatory pain caused by chronic obstructive pulmonary disease, asthma, inflammatory bowel disease, rhinitis, pancreatitis, cystitis (interstitial cystitis), uveitis, inflammatory skin disorders, rheumatoid arthritis, edema resulting from trauma associated with burns, sprains or fracture, postsurgical intervention, osteoarthritis, rheumatic disease, tenosynovitis, or gout, (3) pain associated with angina or menstruation, and (4) pain caused by pneumoconiosis, including aluminosis, anthracosis, asbestosis, chalicosis, ptilosis, siderosis, silicosis, tabacosis, byssinosis, adult respiratory distress syndrome, bronchitis, allergic rhinitis, vasomotor rhinitis, liver disease, multiple sclerosis, atherosclerosis, Alzheimer's disease, septic shock, cerebral edema, headache, migraine, closed head trauma, irritable bowel syndrome, or nephritis. These compds. are also useful for the treatment of diabetic vasculopathy, post capillary resistance, diabetic symptoms associated with insulitis, psoriasis, eczema, spasms of the gastrointestinal tract or uterus, Crohn's disease, ulcerative colitis, or pancreatitis.

MSTR 1



G12 = Ph G14 = 60

₽Ŋ**—**G12

G16 = 100

1860)-G14

 $G27 = 251-7 \ 254-9 \ 252-12$



G28 = phenylene (opt. substd. by (1) G29)

Patent location: claim 1

Note: substitution is restricted

Note: and pharmaceutically acceptable salts

L38 ANSWER 19 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 140:163877 MARPAT Full-text

TITLE: Preparation of

2-(biarylalkyl)amino-3-

(heterocyclylcarbonylamino)pyridine derivatives as

bradykinin receptor B1 antagonists

INVENTOR(S): Kuduk, Scott D.; Bock, Mark G.; Feng, Dong-Mei; Wai,

Jenny Miu-Chun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 20040029920 A1 20040212 US 2003-634401 20030805 PRIORITY APPLN. INFO.: US 2002-401396P 20020806

The title compds. (I) [X = Y = CH, or one is CH and the other is N; R1, R2 =H, C1-4 alkyl; R3 = H, (un)substituted C1-4 alkyl; R4 = H, nitro, halogen, (CH2)nORa, (CH2)nCO2Ra, (CH2)nCN, (CH2)nNRbRc, (CH2)nNHC(O)CH2CN, CONRbRc, C1-4 alkyl; R5 = tetrahydrofuranyl, 2-oxo-4-azetidinyl, (un)substituted heteroaryl; R6a = (un)substituted C1-8 alkyl, C3-8 cycloalkyl, (un)substituted C2-8, halogen, OCF3, cyano, nitro, NRbRc, NRbC(O)Ra, NRbCO2Ra' (wherein Ra' is a nonhydrogen group selected from Ra), CO2Ra, CORa, CONRbRc, CONHORa, ORa, OC(O)Ra, S(O)nRa', SO2NHRc, NHSO2Rd, C(:NORa)NRbRc, C(:NORa)Ra, (un) substituted heterocyclyl; R6b, R6c = H, a group from R6a; with the proviso that not more than one of R6a, R6b, and R6c is a heterocycle; R7 = H, cyano, nitro, halogen, ORa, CO2Ra, CONRbRc, C1-4 alkyl; Ra = H, C1-4 alkyl, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; Rb,Rc = H, C1-4 alkyl optionally substituted with ORa, C3-6 cycloalkyl, aryl, aryl-C1-4 alkyl; or NRbRc together forms a 5- or 6-membered ring optionally containing a heteroatom selected from NRa, O and S; Rd = C1-4 alkyl optionally substituted with 1 to 3 halogen atoms, aryl, aryl-C1-4 alkyl, NRbRc; n = 0, 1, 2] or pharmaceutically acceptable salts thereof are prepared Compds. disclosed herein, e.g. N-[2-[[(1R)-1-(2-cyano-3-fluoro-1,1'-biphenyl-4-yl)ethyl]amino]-4- methylpyridin-3yl]isoxazole-5-carboxamide (II), are bradykinin receptor B1 antagonist compds. and useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin receptor B1 pathway. More specifically these symptoms include (1) osteoarthritis, repetitive motion pain, dental pain, cancer pain, myofascial pain, muscular injury pain, fibromyalgia pain, and perioperative pain and (2) inflammatory pain caused by chronic obstructive pulmonary disease, asthma, inflammatory bowel disease, rhinitis, pancreatitis, cystitis (interstitial cystitis), uveitis, inflammatory skin disorders, rheumatoid arthritis, edema resulting from trauma associated with burns, sprains or fracture, postsurgical intervention, osteoarthritis, rheumatic disease, tenosynovitis, or gout, (3) pain associated with angina or menstruation, and (4) pain caused by pneumoconiosis, including aluminosis, anthracosis, asbestosis, chalicosis, ptilosis, siderosis, silicosis, tabacosis, byssinosis, adult respiratory distress syndrome, bronchitis, allergic rhinitis, vasomotor rhinitis, liver disease, multiple sclerosis, atherosclerosis, Alzheimer's disease, septic shock, cerebral edema, headache, migraine, closed head trauma, irritable bowel syndrome, or nephritis. These compds. are also useful for the treatment of diabetic vasculopathy, post capillary resistance, diabetic symptoms associated with insulitis, psoriasis, eczema, spasms of the gastrointestinal tract or uterus, Crohn's disease, ulcerative colitis, or pancreatitis.

MSTR 1

G12 = Ph G14 = 60

₽Ŋ----G12

G16 = 100

1860)-G14

G27 = 251-7 254-9 252-12



G28 = phenylene (opt. substd. by (1-2) G29)

Patent location: claim 1

Note: substitution is restricted

Note: and pharmaceutically acceptable salts

L38 ANSWER 20 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 138:122639 MARPAT Full-text

TITLE: Preparation of thiazols and related compounds as

telomerase inhibitors

INVENTOR(S): Priepke, Henning; Kauffmann-Hefner, Iris; Hauel,

Norbert; Damm, Klaus; Schnapp, Andreas

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

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	CG, CI,			CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG			
DE	•			А	1	2003	0130		D:	E 20	01-1	0133	665	2001	0711		

AU 2002328323 Α1 20030129 AU 2002-328323 20020706 US 20030055263 A1 20030320 US 2002-192456 20020710 PRIORITY APPLN. INFO.: DE 2001-10133665 20010711 US 2001-307449P 20010724 WO 2002-EP7558 20020706 Title compds. R1-A-B-R2 (I) [R1 = (un)substituted Ph, phenylalkyl,AΒ phenylalkenyl, etc.; A = (un)substituted phenylalkyl; B = HN, NHCO, CONH, etc.; R2 = CO2, (un)substituted cycloalkyl, cycloalkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of thiazol II and phthalic anhydride afforded claimed benzoic acid III in 30% yield. In telomerase inhibition studies, 3-specific examples of I exhibited IC50 values ranging from < 1 - < 5 μ M, e.g., IC50 value of compound III was < $5~\mu M$. Compds. I are claimed useful as telomerase inhibitors. MSTR 1 ç1—ç7—ç8—ç11 G1 = pyridyl (opt. substd. by alkyl <containing 1-3 C>) G7 = phenylene (opt. substd. by alkyl <containing 1-3 C> G8 = 106-2 107-4= 0 G9 G10 = NH = Ph (substd. by 1 or more G12) claim 1 Patent location: Note: and salts Stereochemistry: and isomers REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L38 ANSWER 21 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 137:294873 MARPAT Full-text TITLE: Preparation of pyridyl- and phenylbenzamides as factor Xa inhibitors for treatment of coagulation disorders INVENTOR(S): Zhu, Bin-Yan; Zhang, Penglie; Goldman, Erick A.; Jia, Zhaozhing Jon; Bauer, Shawn; Huang, Wenrong; Woolfrey, John; Scarborough, Robert M. PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA SOURCE: PCT Int. Appl., 325 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

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PATENT NO.
                KIND DATE
                                     APPLICATION NO. DATE
    _____
                                      _____
                   A1 20021010
                                     WO 2002-US10523 20020401
    WO 2002079145
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
           CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
           GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
           LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
           PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
           UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
           CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
           BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                  A1 20021015 AU 2002-257112 20020401
    AU 2002257112
    US 20030069250
                   A1 20030410
                                     US 2002-115135
                                                     20020401
    US 7312235
                   В2
                         20071225
    EP 1373194
                   A1
                         20040102
                                     EP 2002-726698 20020401
    EP 1373194
                   B1 20070801
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
           IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
               T 20070815
                                      AT 2002-726698 20020401
    AT 368643
PRIORITY APPLN. INFO.:
                                       US 2001-279696P 20010330
                                       WO 2002-US10523 20020401
```

Title compds. I [wherein Ar1-Ar3 = independently (un) substituted Ph, pyridyl, AΒ pyrimidinyl, pyrazinyl, pyridazinyl, or thiophenyl; L1 = direct link, (alkyl) 0-2aminocarbonyl, or (alkyl) 1-2amino; L2 = (alkyl) 0-2aminocarbonyl or (alkyl)1-2amino; A = (un)substituted Ph, pyridinyl, imidazolyl, aminoiminomethyl, azacyclyl, guanidyl, etc.; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepared For example, reaction of 2-amino-5-chloropyridine with 5-bromoisatoic anhydride in the presence of lithium bis(trimethylsilyl)amide in anhydrous THF gave 2amino-5-bromo-N-(5-chloro-2-pyridyl)benzamide (73.6%). Treatment with Pd(PPh3)4, CuI, and (trimethylsilyl)acetylene in BuNH2 afforded the 2-amino-5-(trimethylsilylacetylenyl)benzamide derivative (91%). Amidation with 4-cyano-2-fluorobenzoic acid (94%), followed by deprotection with tert-butylammonium fluoride in THF (100%), afforded II (R = CN). The nitrile was converted to the title compound II [R = C(:NH)NMe2] by addition NHMe2 in the presence of 10% TEA/pyridine and MeI in anhydrous acetone. I have activity against mammalian factor Xa and are useful in vitro or in vivo for preventing or treating coagulation disorders (no data).

MSTR 1B

$$G1 = 160-1 \ 157-4$$

G3 = Ph (opt. substd. by (1-2) G24) G5 = 151-4 152-6 / 339-4 340-6/ 344-4 343-6 15101-527 3936-3937 39373936 G6 = 414 G 1 6—G 1 7 = alkylene <containing 1-12 C> G37 = NH Patent location: claim 1 and pharmaceutically acceptable salts, hydrates, Note: solvates and prodrug derivatives Stereochemistry: and isomers REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L38 ANSWER 22 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 136:279349 MARPAT Full-text Preparation of novel quaternary amine containing TITLE: benzamides as inhibitors of factor Xa Zhang, Penglie; Zuckett, Jingmei Fan; Bao, Liang; INVENTOR(S): Scarborough, Robert M.; Zhu, Bing-yan Cor Therapeutics, Inc., USA PATENT ASSIGNEE(S): PCT Int. Appl., 55 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: APPLICATION NO. DATE KIND DATE PATENT NO. _____ WO 2002026712 A2 20020404 WO 2001-US42352 20011001 WO 2002026712 A3 20021017 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2001-US42352 20011001

AB The title compds. AQDEGJZ [I; A = RlaRlbRlcN+; Rla, Rlb, Rlc = alkyl, haloalkyl, cycloalkyl, etc.; Q = a direct link, CH2; D = (un)substituted phenylene, naphthylene, etc.; E = a direct link, CH2, CONH, etc.; G = (un)substituted phenylene, etc.; J = a direct link, CONH, O, etc.; Z =

AU 2002014626 A 20020408 AU 2002-14626 20011001

US 20040067938 A1 20040408

PRIORITY APPLN. INFO.:

US 2003-381925 20031103

US 2000-236330P 20000929

(un) substituted Ph, naphthyl, pyridyl, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis, were prepared Thus, reacting 4-(chloromethyl) benzoyl chloride with 4-chloro-2-(5-chloro-2-pyridyl) aminocarbonylaniline in THF (91%) followed by treatment of the resulting N-(5-chloro-2-pyridyl)-2-(4-chloromethylphenylcarbonyl) amino-5-chlorobenzamide with Me3N in iso-Pr/H2O (68%) afforded II.

MSTR 1

G2-G5-G6-G7-G16-G20-G21-G23

G6 = bond G7 = phenylene

G16 = 47-3 48-5



G18 = C(0)

G20 = phenylene (opt. substd.)

G21 = bond G23 = 159



G24 = alkyl < containing 1-6 C>

G31 = N

Patent location: claim 1

Note: and pharmaceutically acceptable salts, hydrates,

solvates and prodrug derivatives

Stereochemistry: and pharmaceutically acceptable isomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 23 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 136:85809 MARPAT Full-text

TITLE: Preparation of heteroarylphenyl substituted factor Xa

inhibitors for treatment of thromboembolic disorders

Pinto Donald I P · Ouan Mimi I · Woerner Francis

INVENTOR(S): Pinto, Donald J. P.; Quan, Mimi L.; Woerner, Francis

J.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 146 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO. KIND DATE
                                     APPLICATION NO. DATE
    _____
                                      _____
    WO 2002000647 A1 20020103
                                     WO 2001-US20112 20010622
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
           CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
           HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
           LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
           SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
           ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
           DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
           BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                   A1 20020103 CA 2001-2409762 20010622
    CA 2409762
                        20020801
    US 20020103202
                    A1
                                      US 2001-887936
                                                     20010622
    US 6599926
                   B2 20030729
    EP 1296977
                   A1 20030402
                                     EP 2001-946698 20010622
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
           IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    JP 2004501913
                   T 20040122
                                       JP 2002-505771 20010622
                                       US 2000-214033P 20000623
PRIORITY APPLN. INFO.:
                                       WO 2001-US20112 20010622
```

Title compds. I, II, and III [wherein ring D1 = pyridine, pyrazine, AΒ pyridazine, or pyrimidine substituted with 1 Ra and 0-1 Rb; ring D2 = 5membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; E = 0, 3S, or NRc; ring D3 = 5-membered heteroarom. ring substituted with 1 Ra and 0-1 Rb; R, Ra, and Rb = H, alkyl, halo, OH, alkoxy, CN, (un) substituted carboximidamido, (alkyl)amino, OCF3, etc.; Rc = H, alkyl, alkoxy, (un)substituted (alkyl)amino, OCF3, etc.; G = absent or (CH2)1-3, (CH2)0-2CO(CH2)0-2, (CH2)0-2O(CH2)0-2, (CH2)0-2NH(CH2)0-2, (CH2)0-2SOp(CH2)0-2, etc.; p = 0-2; G1 = (un)substituted(CH2)1-5, (CH2)0-2CH=CH(CH2)0-2, (CH2)0-2C.tplbond.C(CH2)0-2, (CH2)uCO(CH2)w, (CH2)uOCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uNH(CH2)w, etc.; u + w = 0-4; G2 = Ph, naphthyl, or heteroaryl; M = isoxazoline, pyrazoline, isothiazoline, triazoline tetrazoline, Ph, or substituted 5-6 membered heteroaryl; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as factor Xa inhibitors. For example, HCl gas was bubbled through 1-(3-cyanophenyl)-3trifluoromethyl-5-[(2'-sulfonylmethyl-[1,1']-biphen-4yl)aminocarbonyl]pyrazole in anhydrous EtOH to afford the ethoxyimidate intermediate. Addition of N-methylmorpholine to the crude product in dioxane, followed by cyclization with semicarbazide HCl, gave the pyrazolamide IV. Some of the invention compds. inhibited factor Xa with Ki values of \leq 10 μM . Thus, I are useful as anticoagulants for the treatment of thromboembolic disorders (no data).

MSTR 1A

 $G5 = 272-3 \ 271-5$

298-2910)

G8 = NH (opt. substd.)

G11 = phenylene (opt. substd. by 1 or more G21)

G12 = phenylene (opt. substd.)

G14 = bond

G16 = 520-203 523-210



G17 = 211

2G18-G19

G18 = alkylene <containing 1 or more C>

(opt. substd. by 1 or more G20)

Patent location: claim 1

Note: or pharmaceutically acceptable salts

Note: additional ring formation and substitution also

claimed

Note: substitution is restricted

Stereochemistry: or stereoisomers

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 24 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 136:93565 MARPAT Full-text

TITLE: Polymeriable nematic liquid crystalline compositions

having high Δn and showing large change in

alignment by light and their color filters and thinner

optical films

INVENTOR(S): Ichihashi, Mitsuyoshi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002003845	A	20020109	JP 2000-191114	20000626
US 20020018863	A1	20020214	US 2001-874004	20010606
US 6645397	B2	20031111		
PRIORITY APPLN. INFO.	:		JP 2000-191114	20000626

The liquid crystalline compns. contain ≥1 compds. shown as AΒ R1Ar1n1C.tplbond.CAr2n2L1Ar3R2 or R3Ar4n3L2Ar5n4C.tplbond.CAr6R4 [I and II; R1-R4 = O(CH2)nX; X = (meth)acryloyloxy, epoxy; L1, L2 = C.tplbond.C, CO2, OC(O), CH:CH, NHCO, C(O)NH; Ar1, Ar3, Ar4, Ar6 = p-C6H4, p-C6H4C6H4, 1,5-C10H6; Ar2, Ar5 = p-C6H4, p-C6H4C6H4, 1,5-C10H6, p-C6H4C6H4C6H4; 1 or 2 C of the benzene ring of Ar2 and Ar5 may be replaced by N; C rings of Ar1-Ar6 may be substituted with ≥ 1 of C, F, Cl, Br, CF3, OCF3, OCHF2, Me, COMe; n1-n4=0, 1; n = 2-15] and chiral compds. whose structure will change by light. The color filter is obtained by exposing a layer containing the composition to actinic light, irradiation strength being varied from place to place, maybe by using a photomask enabling the irradiation as such, to form regions whose selective reflections are varied from each other. Also disclosed herein is a color filter having a red, green, and blue layers fixed by alignments of I or I. Also disclosed herein is a color filter wherein I or II are aligned in helical pitches different from each other to form red, green, and blue layers; each layers are colored by circularly polarized light reflection derived from the helical pitches. The color filters have high reflectance and enable bright image displays. The optical film such as a compensator is obtained by irradiating actinic light to a layer containing the liquid crystalline composition to polymerize at least the compound shown as I or II.

MSTR 2

$$_{3}$$
 $_{6}$ $_{7}$ $_{1}$ $_{2}$ $_{2}$ $_{2}$ $_{7}$ $_{9}$ $_{1}$ $_{1}$ $_{4}$ $_{5}$

$$G1 = 18$$

$$G4 = p-C6H4$$
 (opt. substd. by 1 or more G10)
 $G7 = 181-1$ 185-3

$$G9 = 276$$

$$G11 = 366-2 321-4$$

$$36 = \frac{1}{3} \cdot 20 + \frac{1}{3} \cdot 21^3$$

G12 = 324 - 367 325 - 2

39443950)

G14 = NH

Patent location: claim 1

L38 ANSWER 25 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 135:357943 MARPAT <u>Full-text</u>
TITLE: Preparation of 2-(aminomethyl or

heterocyclylmethyl)-6-aminoquinoline and -naphthalene

derivatives as melanin concentrating hormone

antagonists

INVENTOR(S): Ishihara, Yuji; Suzuki, Nobuhiro; Takekawa, Shiro

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 223 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.		KI	ND	DATE			A.	PPLI	CATI	ON No	ο.	DATE			
WO	2001	0829	25	A	1	2001	1108		M	0 20	 01-J	 P361	4	2001	0426		
	W:	ΑE,	AG,	AL,	ΑM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
		YU,	ZA,	ZW													
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
	DE, DA BJ, CA			ES,	FΙ,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	ΝL,	PT,	SE,	TR,	BF,
	BJ, CE		CF,	CG,	CI,	CM,	GA,	GN,	GW,	$\mathrm{ML}_{m{\prime}}$	MR,	ΝE,	SN,	TD,	ΤG		
CA	CA 2407149			А	1	2001	1108		C.	A 20	01-2	4071	49	2001	0426		
AU	2001	0525	96	A		2001	1112		A1	U 20	01 - 5	2596		2001	0426		
EP	1285	651		Α	1	2003	0226		E.	P 20	01-9	2594	7	2001	0426		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
JP	2002	2412	74	Α		2002	0828		J:	P 20	01-1	3235	7	2001	0427		
US	US 20040077628			A	1	2004	0422		U	S 20	02-2	5849.	2	2002	1024		
US	US 6930185			В	2	2005	0816										
ORIT	ORITY APPLN. INF			.:					J:	P 20	00-1	3429	5	2000	0428		
									J:	P 20	00-3	8489	7	2000	1213		
									M	0 2 0	01-J	P361	4	2001	0426		
Mo	Janir		cont	rati	na l	hormo	no 1	мсц	ant	2001	oi at a	cor	+ - i	nina	comr	de	of t

AB Melanin concentrating hormone (MCH) antagonists containing compds. of the general formula Ar1-X-Ar-Y-NR1R2 or salts thereof (wherein Ar1 is an optionally substituted cyclic group; X and Y are each independently a spacer having a C1-6 main chain; Ar is an optionally substituted fused polycyclic aromatic ring; R1 and R2 are each independently hydrogen or an optionally substituted hydrocarbon group, or alternatively R1 and R2 together with the nitrogen atom adjacent thereto may form a nitrogenous heterocycle, or R2 together with the nitrogen atom adjacent thereto and Y may form an optionally

substituted nitrogenous heterocycle, or R2 together with the nitrogen atom adjacent thereto, Y, and Ar may form a fused ring) are described. They are appetite depressants and useful as preventive or therapeutic drugs for diseases caused by melanin concentrating hormone, in particular obesity. Thus, tert-Bu

6-(N,N-dimethylaminomethyl)-2-naphthylcarbamate (preparation given) was treated with CF3CO2H and condensed with 4'-chloro-1,1'-biphenyl-4-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-dimethylaminopyridine in DMF at room temperature for 16 h to give 4'-chloro-N-[6-(N,N-dimethylaminomethyl)-2-naphthyl]-1,1'-biphenyl-4-carboxamide (I). I in vitro inhibited the binding of [35S]-guanosine 5'-(γ -thio)triphosphate to CHO cell line expressing the MCH receptor, i.e. the orphan G protein-coupled receptor SLC-1, with IC50 of 5 nM. A tablet formulation containing I was described.

MSTR 1

$$G1 = 23$$

$$G2 = 15-1 \ 16-3$$

15(0)-G10

$$G3 = 4$$

$$G10 = NH$$

G12 = alkyl < containing 1-6 C>

(opt. substd. by 1 or more halo)

G15 = phenylene (opt. substd. by (1-3) G12)

G16 = pyridyl (opt. substd. by (1-3) G12)

G21 = 274-2 267-5

Patent location: claim 1 Note: or salts

Note: substitution is restricted

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 26 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 135:272754 MARPAT <u>Full-text</u>

TITLE: Preparation of insecticidal anthranilamides

INVENTOR(S): Lahm, George P.; Myers, Brian J.; Selby, Thomas P.;

Stevenson, Thomas M.

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA

SOURCE: PCT Int. Appl., 211 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DA	TE	APPLICATION NO.	DATE
WO 2001070671 WO 2001070671	A2 200	 010927 020214	WO 2001-US9338	20010320
W: AE, AG,	AL, AM, A	T, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
			DZ, EE, ES, FI, GB,	
HR, HU,	ID, IL, II	N, IS, JP,	KE, KG, KP, KR, KZ,	LC, LK, LR, LS,
LT, LU,	LV, MA, MI	D, MG, MK,	MN, MW, MX, MZ, NO,	NZ, PL, PT, RO,
RU, SD,	SE, SG, SI	I, SK, SL,	TJ, TM, TR, TT, TZ,	UA, UG, US, UZ,
VN, YU,	ZA, ZW			
RW: GH, GM,	KE, LS, M	W, MZ, SD,	SL, SZ, TZ, UG, ZW,	AT, BE, CH, CY,
DE, DK,	ES, FI, F	R, GB, GR,	IE, IT, LU, MC, NL,	PT, SE, TR, BF,
BJ, CF,	CG, CI, CI	M, GA, GN,	GW, ML, MR, NE, SN,	TD, TG
CA 2400167	A1 200	010927	CA 2001-2400167	20010320
AU 2001050946	A 200	011003	AU 2001-50946	20010320
EP 1265850	A2 200	021218	EP 2001-924277	20010320
EP 1265850	B1 200	070103		
			GB, GR, IT, LI, LU,	NL, SE, MC, PT,
			CY, AL, TR	
	A 200		BR 2001-9757	
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HU 2003000263	A3 200	030728	JP 2001-568883 NZ 2001-520728	
JP 2003528070	T 200	030924	JP 2001-568883	20010320
NZ 520728	A 200	030926	NZ 2001-520728	20010320
AU 2001250946				
			RU 2002-128150	
			EP 2006-12017	20010320
EP 1700845		081210		
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ES 2278738		070816		20010320
AT 417033		081215		20010320
ZA 2002006148				20020801
IN 2002MN01167	A 200		IN 2002-MN1167	
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US 6747047	B2 200 B1 200	040608	KD 2002 712474	20020010
			KR 2002-712474 MX 2002-9207	
US 20040142984	A1 200	040722	05 2003-698643	20031031

US 6995178 В2 20060207 US 20060079561 A1 20060413 US 2005-199830 20050809 US 7338978 В2 20080304 PRIORITY APPLN. INFO.: US 2000-191242P 20000322 US 2000-220232P 20000724 US 2000-254635P 20001211 US 2001-262015P 20010117 EP 2001-924277 20010320 US 2001-9338 20010320 WO 2001-US9338 20010320 US 2002-220450 20020828 US 2003-698643 20031031

The title compds. [I; A, B = O, S; J = substituted Ph, naphthyl, (un)substituted 5-6 membered heteroarom., aromatic 8-10 membered fused heterobicyclic ring; n = 1-4; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkoxy, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl, halo, etc.], useful for controlling arthropods, were prepared E.g., a multi-step synthesis of II which showed excellent level of plant protection (10% or less feeding damage) in test with diamondback moth (DBM), was given.

MSTR 1

G1 = 0G2 = 151

15191525

$$G27 = 162 / N$$

162 G28

G28 = alkyl < containing 1-4 C>

(opt. substd. by 1 or more G4)

 $G29 = 198-8 \ 201-152$



Patent location: claim 1

Note: substitution is restricted

Note: additional ring formation also claimed Note: or N-oxide or agriculturally suitable salts

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 27 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 135:5437 MARPAT Full-text

TITLE: Preparation and formulation of vitamin D analogs for

pharmaceutical and cosmetic use

INVENTOR(S): Bernardon, Jean-michel; Biadatti, Thibaud PATENT ASSIGNEE(S): Galderma Research & Development, S.N.C., Fr.

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PAT	rent	NO.		KI	ND	DATE			A.	PPLI	CATI	N NC	Ο.	DATE			
	2001 2001								M	0 20	00-F	R324	9	2000	1122		
WO		AE, CR, HU,	AG, CU, ID,	, AL, AM, AT, AU, AZ, , CZ, DE, DK, DM, DZ, , IL, IN, IS, JP, KE, , MA, MD, MG, MK, MN, , SI, SK, SL, TJ, TM,				EE, KG,	ES, KP,	FI, KR,	GB, KZ,	GD, LC,	GE, LK,	GH, LR,	GM, LS,	HR, LT,	
		SE, ZA,		SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,
	RW:	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	•	SE,		
FR			·	A B	1 1	2001	0525 1206	·	F.	R 19	99-1	4781	·		1124		
CA AU	2392 2001	165 0252	65 A1			2008 2001	0826 0604							2000			
BR EP	7673 2000 1235	0159. 777		A A	2	2003 2002 2002	0806 0904							2000			
EР	1235777 R: AT, BE, IE, SI,			CH,	DE,		ES,					LI,	LU,	NL,	SE,	MC,	PT,

JP	2003514892	T	20030422	JP	2001-539859	20001122
JP	3822106	B2	20060913			
AT	269289	T	20040715	AT	2000-988868	20001122
RU	2237651	C2	20041010	RU	2002-116690	20001122
PT	1235777	T	20041130	PT	2000-988868	20001122
ES	2223642	Т3	20050301	ES	2000-988868	20001122
CN	1616452	A	20050518	CN	2004-10078984	20001122
CN	1213980	С	20050810	CN	2000-818458	20001122
ZA	2002003475	A	20030401	ZA	2002-3475	20020502
MX	2002005175	A	20030128	MX	2002-5175	20020523
IN	2002DN00619	A	20061229	IN	2002-DN619	20020619
US	6831106	B1	20041214	US	2002-130941	20020905
PRIORITY	Y APPLN. INFO.:			FR	1999-14781	19991124
				WO	2000-FR3249	20001122

AB Vitamin D analogs, such as I [R1 = H, Me, hydroxyalkyl, acyloxyalkyl, etc.; R2, R3 = hydroxyalkyl, acyloxyalkyl, etc.; X, Y = connecting group, such as alkylene, alkenylene, alkynylene, phenylene, heteroarylene, etc.; Ar1, Ar2 = aromatic connecting group, such as phenylene or heteroarylene], were prepared as vitamin D receptor agonists for cosmetic and pharmaceutical use in the treatment of dermatol. and immunol. conditions, such as inflammation, acne, psoriasis, seborrhea, transplant rejection, cancer, etc. Thus, benzenedimethanol II was prepared in a multistep synthetic sequence starting from 1,2,4-benzenetricarboxylic anhydride, 3-bromophenol, and Et 4-iodobenzoate. The prepared vitamin D analogs were tested for vitamin D receptor agonist activity.

MSTR 1

G2 = phenylene (opt. substd. by (1) G3)
G7 =
$$32-3$$
 $31-5$

$$G8 = NH$$

 $G11 = p-C6H4 \text{ (opt. substd. by (1-3) } G25)$

G13 =
$$259-5$$
 $256-228$

$$G14 = 41$$



Patent location: claim 1 Note: and salts

Stereochemistry: and optical and geometric isomers

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 28 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 134:252334 MARPAT $\underline{Full-text}$

TITLE: Preparation of

1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as

inhibitors of factor Xa

INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Huang, Wenrong;

Song, Yonghong; Kanter, James; Scarborough, Robert M.

PATENT ASSIGNEE(S): Cor Therapeutics Inc., USA SOURCE: PCT Int. Appl., 314 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PAT	PATENT NO.										CATI	ON N	Ο.	DATE			
	2001 2001	0197	98	A	2	2001	0322				 00-U	 S251	 95	2000			
								AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
														LK,			
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,
		ZA,	ZW														
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG			
	2385													2000			
	781880								A	U 20	00-7	4866		2000	0915		
	781880																
EP			31														
	R:		31								ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
						FI,											
	2000													2000			
	2002													2000			
	2003													2000			
	2002										02-3			2000			
	5178 2002			A		2003 2002					00-5			2000			
	2002			A A		2002					02-1 $02-2$			2002			
	2002		-	A		2003	-			_	02-2	-		2002			
						2003					02-2			2002			
											03-6			2002			
		2003006488 A 200402 2003006490 A 200403									03-6			2003			
	3 2003006490 5 20060020039					2004					05-3			2005			
	7285			В.		2007			O	20		5,5,		2000	V T T T		
	, 200				_	_00/	-025										

PRIORITY APPLN. INFO.: US 1999-154332P 19990917 US 2000-185746P 20000229 US 2000-663420 20000915 WO 2000-US25195 20000915 The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph; Q = a

AΒ direct link, alkylene, CO, etc.; D = a direct link, (un)phenylene, etc.; E = a direct link, (CH2)qCO, SO2, etc.; q = 0-2; G = (un) substituted Ph, (un) substituted 5-6 membered (non) aromatic heterocyclic a ring containing 1-4heteroatoms selected from N, O and S; J = a direct link, SO2, CO, etc.; X = (un) substituted Ph, naphthyl, heteroaryl] having activity against mammalian factor Xa, and therefore useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 3-step synthesis of the pyrazolecarboxamide I was described.

MSTR 1

ç2—<u>ç</u>1—<u>ç</u>10

G1 = phenylene (opt. substd.)
G2 =
$$4$$

Ģ8——⊊3

$$G8 = 174-2 \ 173-5 \ / \ 175-2 \ 177-5 \ / \ 214-2 \ 215-5$$

1933<u>1</u>944 1937<u>1</u>986<u>1</u>933 2948<u>2</u>933

$$G10 = 19$$

16117615

$$G11 = 25-2 27-20$$

185621

G28 = 248-2 251-215



Patent location: claim 1

Note: substitution is restricted

Note: additional ring formation also claimed

Note: additional combinations of groups in G8 and G9 also

claimed

Note: or pharmaceutically acceptable salts, hydrates,

solvates and prodrug derivatives

Stereochemistry: or pharmaceutically acceptable isomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 29 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 133:58815 MARPAT Full-text

TITLE: Preparation of

 $\verb|N-arylcarbonyl-8-(pyrrolopyrazinyl)| pyrroloquinolines$

and analogs as 5-HT receptor ligands

INVENTOR(S): Gaster, Laramie Mary; Heightman, Tom Daniel

PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	ΓΕΝΤ	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	Ο.	DATE			
WO	2000	0359	 19	 A	2	2000	0622		W	0 19	 99-е:	 P956	4	1999	1203		
WO	2000	0359	19	Α	3	2000	1026										
	W:	ΑE,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD, MG, MK, MN, MV					MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	L, TJ, TM, TR, TT, TZ				TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW	
	RW:	GH,	GM,	M, KE, LS, MW, SD, SL,			SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,		
		DK,	ES,	, RE, LS, MW, SD, SL, S, FI, FR, GB, GR, IE,				ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG				
CA	2355	234		А	1	2000	0622		C.	A 19	99-2	3552	34	1999	1203		
EP	1140	946		Α	2	2001	1010		E	P 199	99-9	6452	6	1999	1203		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE, SI, LT, LV, FI, RO															
TR	TR 200101764 T2			2	2001	1022		T	R 20	01-1	764		1999	1203			
BR	BR 9916307			А		2002	0115		В	R 19	99-1	6307		1999	1203		
HU	BR 9916307 HU 2001004662		62	А	2	2002	0429		H	U 20	01-4	662		19993	1203		

JP	2002532501	T	20021002	JP	2000-588178	19991203
NO	2001003003	A	20010725	NO	2001-3003	20010615
MΧ	2001006243	A	20020208	MX	2001-6243	20010618
PRIORITY	APPLN. IN	FO.:		GB	1998-27882	19981217
				WO	1999-EP9564	19991203

Title compds. [I; R = LRa; L = YCOZ2, COZ2, Z2CO; Ra = (un)substituted cycloalkyl, -heterocyclyl, -Ph, R1Z3Z4, etc.; R1 = (un)substituted cycloalkyl, -heterocyclyl, -Ph, etc.; R3 = H; Y = (alkyl)imino, O, CH2, OCH2, CH:CH; Z = N, C, CH; Z1 = (CH2)1-3; Z2 = NH, CHR2; R2 = H; R2R3 = atoms to complete a ring; Z3 = bond, O, (alkyl)imino, CO, etc.; Z4 = (un)substituted heterocyclylene, -phenylene, etc.; dashed line = optional addnl. bond] were prepared Thus, (S)-(-)-I (RR3 = NR4CH2CH2, Z = N, Z1 = CH2, dashed line = null)(II; R4 = H)(prepn, given) was N-acylated by 4-quinolinecarboxylic acid to give II (R4 = quinoline-4-carbonyl). Data for biol. activity of I were given.

MSTR 1

$$G4 = 59$$

$$G22 = 64$$

$$G24 = 188-1 183-3$$

 $G25 = 189-1 \ 191-186$

1836-1960)-1927

G26 = bond G27 = NH

Patent location: claim 1

Note: also incorporates claim 7
Note: substitution is restricted

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 30 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 131:144406 MARPAT Full-text

TITLE: Preparation of PPAR-GAMMA modulators on treatment of

type II diabetes and obesity

INVENTOR(S): De La Brouse-Elwood, Fabienne; Jaen, Juan C.; McGee,

Lawrence R.; Miao, Shi-Chang; Rubenstein, Steven Marc; Chen, Jin-Long; Cushing, Timothy D.; Flygare, John A.;

Houze, Jonathan B.; Kearney, Patrick C.

PATENT ASSIGNEE(S): Tularik Inc., USA SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

	FENT												DATE				
	9938													1999	0120		
	W:	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
		ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
		MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,
		TR,	TT,	UA,	UG,	UZ,	VN,	YU,	ZW								
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,
		FI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
		CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
CA	2318	731		Α	1	1999	0805		C.	A 19	99-2	3187.	31	1999	0120		
AU	9921	176		Α		1999	0816		A	J 19	99-2	1176		1999	0120		
ΑU	7592	55		В	2	2003	0410										
ΕP	1053	227		Α	1	2000	1122		E:	P 19	99-9	0149.	2	1999	0120		
ΕP	1053	227		В	1	2008	1105										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	FI,	CY													
	6200			_	_				-	S 19	99-2	3432	7	1999	0120		
JΡ	2002	5019	45	Τ		2002	0122		J:					1999			
ΑT	4133	86		Τ		2008	1115		A'	Г 19	99-9	0149	2	1999	0120		
US	T 413386 T 20081115 S 20010027200 A1 20011004								U	S 20	00-7	4141	5	2000	1219		
US	B2 20030916																
US									U	S 20	01-8	9498	0	2001	0627		
US	S 6583157 B2 20030624																
	2003								U	S 20	02-1	2329	8	2002	0415		
US	7439	242		В	2	2008	1021										

PRIORITY APPLN. INFO.:

US 1998-73042P 19980129 US 1999-234327 19990120 WO 1999-US1147 19990120 US 2000-214810P 20000628 US 2000-741415 20001219

AΒ Title compds. [I; Ar1 is aryl; X is a divalent linkage of alkylene, alkylenoxy, -O-, -C(O)-, -N(R11)-, -N(R11)C(O)-, -S(O)k- and a single bond, in which R11 is hydrogen, alkyl, heteroalkyl, and arylalkyl and the subscript k is an integer of from 0 to 2; Y is a divalent linkage selected from alkylene, -O-, -C(O)-, -N(R12)-S(O)m-, -N(R13)-S(O)m-N(R13)-, -N(R12)C(O)-, -S(O)n-, a single bond, and combinations thereof in which R12 and R13 are members independently selected from the group consisting of hydrogen, alkyl, heteroalkyl and arylalkyl; and the subscripts m and n independently integers of from 0 to 2; R1 represents a member selected from the group consisting of hydrogen, alkyl, heteroalkyl, aryl, arylalkyl, -CO2R14, -CO(R)14, -C(0)NR15R16, -S(0)p-R14, -S(0)q-NR15R16, -O-C(0)-OR17, -O-C(0)-R17, -O-C(0)-R17NR15R16, -N(R14)-C(0)-NR15R16, -N(R14)-C(0)-R17 and -N(R14)-C(0)-OR17, in which R14 is hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, and R15 and R16 are independently of hydrogen, alkyl, heteroalkyl, aryl and arylalkyl, or taken together with the nitrogen to which each is attached from a 5-, 6- or 7membered ring; R17 R2 are independently of alkyl, heteroalkyl, aryl, arylalkyl; p = 0-3; q = 1-2] and pharmaceutical compns. containing the compds. described above for the treatment of conditions such as type II diabetes and obesity. Thus, the title compound II was prepared

MSTR 1B

$$G1 = 80-283-479-29$$



$$G2 = 234$$

$$G3 = 14-1 \ 15-3$$

G6 = NHG18 = pyridyl (opt. substd. by (1-3) G22) = alkyl <containing 1-8 C> Patent location: claim 1 Note: substitution is restricted REFERENCE COUNT: THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS 12 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L38 ANSWER 31 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 129:176093 MARPAT <u>Full-text</u> Photocrosslinkable silane derivatives and their use TITLE: INVENTOR(S): Buchecker, Richard; Marck, Guy; Seiberle, Hubert PATENT ASSIGNEE(S): Rolic A.-G., Switz. Eur. Pat. Appl., 19 pp. SOURCE: CODEN: EPXXDW DOCUMENT TYPE: Patent German LANGUAGE: FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: KIND DATE APPLICATION NO. DATE PATENT NO. KIND DATE EP 857728 A2 19980812 EP 1998-810061 19980129 EP 857728 A3 19990616 EP 857728 B1 20030305 A3 19990616 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO B1 20010821 US 1998-16376 US 6277502 19980130 JP 10324690 JP 4205195 19981208 Α JP 1998-23571 19980204 B2 20090107 A1 20020723 SG 1998-241 A 19981007 CN 1998-10709 SG 90026 19980204 CN 1195015 CN 1998-107099 19980205 CN 1213053 C 20050803 HK 1010884 A1 20030613 нк 1998-112145 19981120 PRIORITY APPLN. INFO.: EP 1997-101757 19970205 The silanes, useful in the preparation of orientation layers for liquid crystals and in optical elements, have the structure Q[Z1Y1]m[Z2Y2]nZ3CH:CHCOZ(CH2)r[L(CH2)s]pSiX1X2X3 [L = O, CO2, O2C, NR, NRCO, CONR, NRCO2, O2CNR, NRCONR, CH:CH, C.tplbond.C; Q = H, F, Cl, CN, NO2, (un) substituted (un) interrupted C1-20 alkyl; R = H, lower alkyl; X1 = alkyl, alkoxy, halo; X2, X3 = alkoxy, halo; Y1, Y2 = (CH2)t, O, CO, CO2, O2C, NR, NRCO, CONR, (CH2)uO, O(CH2)u, (CH2)uNR, NR(CH2)u; Z = O, NR; Z1 = O(un) substituted phenylene, 2,5-pyridinediyl, 2,5-pyrimidinediyl, 1,3-dioxane-3,5-diyl, 1,4-cyclohexanediyl, 1,4-piperidinediyl, 1,4-piperazinediyl; Z2 = (un) substituted phenylene, 1,4- or 2,6-naphthylene, 2,5-pyridinediyl, 2,5pyrimidinediyl, 1,3-dioxane-3,5-diyl, 1,4-cyclohexanediyl; Z3 =(un) substituted phenylene, 1,4- or 2,6-naphthylene, 2,4- or 2,5- or 2,6pyridinediyl, 2,5- or 3,5-pyrimidinediyl, 2,5-furandiyl, 2,5-thiophenediyl; m, n, p = 0, 1; r, s = 1-20; r + s \leq 25; t = 1-4; u = 1-3]. Thus, (E)-3,4-

the space between was filled with a liquid crystal mixture

dimethoxycinnamic acid reacted with C1(CH2)6OH to give the 6-hydroxyhexyl ester, which was treated with (Et0)3Si(CH2)3NCO to form the carbamate. A PrOH solution of the carbamate was spread on a glass plate, dried 30 min at 130° , 2 such plates in parallel were exposed to polarized UV radiation for 3 min, and

MSTR 1

$$G^{25}$$
 G^{10} G^{24} G^{17} G

 $G10 = 33-1 \ 34-3 \ / \ 115-1 \ 118-3$

 $3^{\frac{1}{3}}^{\frac{1}{3}}^{\frac{1}{4}}^{\frac{1}{5}}$ $1^{\frac{2}{5}}^{\frac{0}{1}}^{\frac{1}{6}}^{\frac{1}{6}}^{\frac{1}{1}}^{\frac{1}{7}}^{\frac{1}{7}}^{\frac{2}{1}}^{\frac{1}{6}}^{\frac{2}{3}}^{\frac{3}{1}}$

G13 = CH

 $G15 = 107-33 \ 108-3 \ / \ 109-33 \ 110-3$

16781687 1697168

G17 = NH

G18 = C(0)

 $G20 = 129-1 \ 132-116$

 $G21 = 188-115 \ 189-117 / 190-115 \ 191-117$

1881897 19071918

G22 = phenylene (opt. substd. by 1 or more G12)

 $G23 = 306-117 \ 307-3 \ / \ 308-117 \ 309-3$

36683677 36673658

G24 = phenylene (opt. substd. by 1 or more G12)

G25 = carbon chain <containing 1 or more C,

0 or more double bonds, 0 or more triple bonds>

(opt. substd. by 1 or more G26)

Patent location: claim 1

Note: additional interruptions of Ak in G25 also claimed

MSTR 1

$$G^{25}$$
 G^{10} G^{24} G^{10} G

 $G10 = 33-1 \ 34-3 \ / \ 115-1 \ 118-3$

 $_{3}$ G11 $_{\overline{3}}$ G15 $_{1}$ G20 $_{\overline{1}}$ G1 $_{\overline{1}}$ G2 $_{\overline{1}}$ G23

G13 = CH

 $G15 = 107-33 \ 108-3 \ / \ 109-33 \ 110-3$

16781617 16171168

G17 = NH

G18 = C(0)

 $G20 = 129-1 \ 132-116$

 $G21 = 188-115 \ 189-117 / 190-115 \ 191-117$

1887837 19877918

G22 = phenylene (opt. substd. by 1 or more G12)

 $G23 = 306-117 \ 307-3 \ / \ 308-117 \ 309-3$

36683677 36673698

Patent location: Note:

claim 1

additional interruptions of Ak in G25 also claimed

MSTR 2

G10 = 35

3 9 2 5 3 9 2 4 3 5 1 1

 $G11 = 36-34 \ 39-8 \ / \ 134-34 \ 135-8$

 $_{3}$ 6^{2} $_{3}$ 6^{2} $_{3}$ 6^{2} $_{3}$ 6^{2} $_{3}$ 6^{2} $_{1}$ 6^{2} $_{3}$ $_{1}$ 6^{2} $_{2}$ $_{3}$ $_{1}$ 6^{2} $_{2}$ $_{3}$ $_{4}$ $_{3}$ $_{1}$ $_{5}$ $_{2}$ $_{2}$ $_{3}$ $_{4}$ $_{3}$ $_{1}$ $_{5}$ $_{2}$ $_{2}$ $_{3}$ $_{4}$ $_{3}$ $_{4}$ $_{3}$ $_{4}$ $_{5}$ $_{2}$ $_{2}$ $_{3}$ $_{4}$ $_{3}$ $_{4}$ $_{3}$ $_{4}$ $_{5}$ $_{2}$ $_{3}$ $_{4}$ $_{3}$ $_{4}$ $_{5}$ $_{4}$ $_{5}$ $_{4}$ $_{5}$ $_{4}$ $_{5}$ $_{4}$ $_{5}$ $_{4}$ $_{5}$ $_{4}$ $_{5}$

G12 = phenylene (opt. substd. by 1 or more G13)

G14 = CH

 $G15 = 80-37 \ 81-39 \ / \ 82-37 \ 83-39$

86188617 82178618

G17 = NH

G18 = C(0)

G20 = phenylene (opt. substd. by 1 or more G13)

 $G21 = 128-34 \ 129-37 / 130-34 \ 131-37$

12881297 19071918

 $G23 = 176-34 \ 177-135 / 178-34 \ 179-135$

19681977 19871938

 $G24 = 193-33 \ 190-35$



G25 = alkyl < containing 1-6 C >

(opt. substd. by 1 or more F)

Patent location: claim 6

L38 ANSWER 32 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 122:9879 MARPAT Full-text

TITLE: Preparation of pyridine compounds.

INVENTOR(S): Mitchell, William Leonard; Clitherow, John Watson

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

SOURCE: Brit. UK Pat. Appl., 54 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2276163	A	19940921	GB 1993-5509	19930317
PRIORITY APPLN. INFO.	:		GB 1993-5509	19930317

Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2, R3 = H, halo, C1-6 alkyl, HO-C1-6 alkyl, C1-6 alkoxy-C1-6 alkyl, C1-6 alkoxy, HO, NC, O2N, R6O2C, R6CO, R6R7NCO, etc., wherein R6, R7 = H, C1-4 alkyl, R6R7N = 5-6-membered heterocyclyl; R4, R5 = H, halo, HO, C1-6 alkoxy, C1-6 alkyl; R8, R9 = R6; X = CONH, NHCO, CH2NH, NHCH2; p = 2-4) or a salt or solvate thereof, as 5-HT1D antagonists useful in treatment of CNS disorders, endocrine disorders and sexual dysfunction (no data), are prepared (E)-3-(2-cyanoethenyl)-4-methoxy-N-[4-(4-pyridinyl)phenyl]benzamide (preparation given) in DMF, EtOH and ethanolic dimethylamine was added to pre-reduced palladium oxide/C to give the title compound II.

MSTR 1

G1 = phenylene (opt. substd. by (1) G2)

G3 = pyridyl (opt. substd. by (1-2) G4)

G4 = alkyl < containing 1-6 C> (opt. substd. by OH)

G10 = 22-2 23-4

25 (0) NH

Derivative: or physiologically acceptable salt of solvate

Patent location: claim 1

L38 ANSWER 33 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 121:300771 MARPAT Full-text

TITLE: Preparation of piperidinyl anilines and -benzanilides

INVENTOR(S): Oxford, Alexander William; Clitherow, John Watson

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK

SOURCE: Brit. UK Pat. Appl., 42 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

GB 2276162 A 19940921 GB 1993-5469 19930317
PRIORITY APPLN. INFO:: GB 1993-5469 19930317

Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2, R3 = H, halo, H0, C1-6 alkoxy, C1-6 alkyl; R4 = H, C1-6 alkyl; Ar = (substituted) Ph, oxadiazolyl, imidazolylmethyl, dioxolanyl, thioxolanyl, (substituted)pyridinyl; X = CONH, NHCO, NHCH2, CH2NH; p, q = 1-3) or a salt or solvate thereof, 5-HT1D antagonists useful in treatment of CNS or endocrine disorders and sexual dysfunction (no data), are prepared 4-Methoxy-3-[2-(1-methyl-2-piperidinyl)ethyl]benzoic acid, HI (preparation given) in pyridine was reacted with 4'-amino-[1,1'-biphenyl]-4-sulfonamide to give the free base with was treated with oxalic acid to give the title compound II.

MSTR 1

$$G5 - G15$$
 $G3$
 $G3$
 $G3$
 $G3$
 $G4$
 $G4$

G1 = phenylene (opt. substd. by (1) G2)

G5 = pyridyl (opt. substd. by (1-2) G29)

G29 = alkyl <containing 1-6 C> (opt. substd. by OH)

G30 = 127-14 128-12

1931198

G31 = C(0)

Derivative: or physiologically acceptable salts or solvates

Patent location: claim 1

Note: substitution is restricted

L38 ANSWER 34 OF 35 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 119:49414 MARPAT Full-text

TITLE: Preparation of benzanilide derivatives as 5-HTld

antagonists

INVENTOR(S): Oxford, Alexander William; Mitchell, William Leonard;

Bradshaw, John; Clitherow, John Watson

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK SOURCE: Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	FENT	NO.		KII	ND	DATE			A)	PPLI	CATI	N NC	0.	DATE				
EP	5332	 67			1	 1993	0324		E	 - 19	92-2	0280	 5	1992	0914			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LI,	LU,	MC,	NL,	PT,	SE
WO	9306	084		A.	1	1993	0401		M) 19	92-E	P213	6	1992	0914			
	W:	ΑT,	ΑU,	BB,	ВG,	BR,	CA,	CH,	CS,	DE,	DK,	ES,	FΙ,	GB,	HU,	JP,	ΚP,	
		KR,	LK,	LU,	MG,	MN,	MW,	NL,	NO,	PL,	RO,	RU,	SD,	SE,	US			
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	SE,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	SN,	TD,	ΤG					
AU	9225	687		Α		1993	0427		A	J 19	92-2	5687		1992	0914			
HU	7051	6		A.	2	1995	1030		Н	J 19	94-7	59		1992	0914			
CA	2078	507	07		1	1993	0319		C	A 19	92-2	0785	07	1992	0917			
AU	9224	8507 4528		Α		1993	0325		A	J 19	92-2	4528		1992	0917			
CN	1073	430		Α		1993	0623		CI	N 19	92-1	1166	1	1992	0917			
ZA	9207	106		Α		1994	0317		Z_{i}	A 19	92-7	106		1992	0917			
JP	0610	7637		Α		1994	0419		J]	2 19	92-2	7366	0	1992	0917			
US	5358	948		Α		1994	1025		U	S 19	92-9	4609	9	1992	0917			
CN	1089	944		Α		1994	0727		CI	N 19	93-1	0071	0	1993	0109			
FΙ	9401	261		Α		1994	0317		F	I 19	94-1	261		1994	0317			
NO	9400	974		A		1994	0317		No) 19	94-9	74		1994	0317			
IORIT:	ITY APPLN. INFO			. :					G1	3 19	91-1	9932		1991	0918			
									W) 19	92-E	P213	6	1992	0914			

AB Piperazinobenzanilides I [R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2 = pyridinyl group (un)substituted by one or two substituents selected from halo, C1-6 alkyl, hydroxy C1-6 alkyl, C1-6alkoxyC1-6 alkyl, C1-6 alkoxy, OH, -CN, NO2, CO2R6, COR6, CONR6R7, (CH2)mOC(O)C1-4 alkyl (R6, R7 = H, C1-6 alkyl, m = integers 1-3); R3 = certain 4-substituted piperazino derivs.; R4, R5 (same or different) each independently = H, halo, OH, C1-6 alkoxy, C1-6 alkyl], and their physiol. acceptable salts or solvates, were prepared Compds. I exhibit 5-HT1d antagonist activity, and are claimed for treatment or prophylaxis of depression and other central nervous system disorders and for Parkinson's disease. Pharmaceutical compns. comprising compds. I are described.

MSTR 1

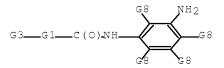
G1 = phenylene (opt. substd. by (1) G2) G3 = pyridyl (opt. substd. by (1-2) G4)

G4 = alkyl < containing 1-6 C> (opt. substd. by OH)

Derivative: or physiologically acceptable salts or solvates

Patent location: claim 1

MSTR 4



G1 = phenylene (opt. substd. by (1) G2) G3 = pyridyl (opt. substd. by (1-2) G4)

G4 = alkyl <containing 1-6 C> (opt. substd. by OH)

Patent location: claim 13

L38 ANSWER 35 OF 35 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 117:7671 MARPAT <u>Full-text</u>

TITLE: Preparation of bisaryl amide and urea containing

heterocyclyl as antagonists of platelet-activating

factor

INVENTOR(S):
Wissner, Allan

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: U.S., 47 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5077409	A	19911231	US 1990-519523	19900504
US 5231182	A	19930727	US 1991-770847	19911004
PRIORITY APPLN.	INFO.:		US 1990-519523	19900504

OTHER SOURCE(S): CASREACT 117:7671

Title compds. I [X = (CH2)nCONH(CH2)p, OCH2CONH(CH2)p, NHCONH(CH2)p, (CH2)nNHCO(CH2)p, (CH2)mN(COR3)(CH2)p where m = 0-3; n = 0-2; p = 0, 1; R3 = C1-4 alkyl, C1-4 alkoxy, C1-4 alkylamino; R1 = C1-25 alkyl, C2-25 alkenyl, C1-25 alkoxy, C1-25 alkylthio, C2-25 alkenyloxy, (substituted) Ph, substituted PhO, H, halo, F3C, cyano, O2N, ester, amide, CHO, etc.; R2 = H, C1-5 alkyl, C1-5 alkoxy, halo; Y = (substituted) heterocyclyl], are prepared A mixture of N-[4-(bromomethyl)phenyl]-4- (tetradecyloxy)benzeneacetamide (preparation given) and 5-methylthiazole in MePh was refluxed under Ar, then left stand overnight at ambient temperature to give I (X = CH2CONH, R1 = 4-Me(CH2)13O, R2 = H, Y = 3-thiazolium) (II). II at 0.00001 M inhibited 100% plateletactivating factor aggregation in rabbit platelet-rich plasma.

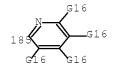
G584-G13-68-G15

G4 = phenylene (opt. substd.)
G8 = phenylene (opt. substd. by 1 or more G9)

G13 = 96-81 97-5

9624-9623

G15 = 185



G16 = alkyl < containing 1-6 C>

G23 = C(0)G24 = NH

Patent location: disclosure

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Search History

L1	1 SEA SPE=ON ABB=ON PLU=ON US2007-573945/APPS
L2	FILE 'REGISTRY' ENTERED AT 20:30:27 ON 18 MAR 2009 60 SEA SPE=ON ABB=ON PLU=ON (111272-66-3/BI OR 294654-92-5/BI OR 295347-89-6/BI OR 301813-87-6/BI OR 308299-25-4/BI OR 308299-50-5/BI OR 310452-52-9/BI OR 310452-58-5/BI OR 312592-23 -7/BI OR 312603-57-9/BI OR 312755-58-1/BI OR 313371-75-4/BI OR 313394-74-0/BI OR 313561-16-9/BI OR 313649-99-9/BI OR 313668-34 -7/BI OR 313956-11-5/BI OR 315703-50-5/BI OR 315703-54-9/BI OR 315704-14-4/BI OR 320741-88-6/BI OR 325485-67-4/BI OR 329197-29 -7/BI OR 333746-68-2/BI OR 333746-73-9/BI OR 3373-01-1/BI OR 347366-68-1/BI OR 35077-88-4/BI OR 355402-32-3/BI OR 357613-68-4/BI OR 361174-23-4/BI OR 361175-17-9/BI OR 370093-74-6/BI OR 371137-57-4/BI OR 374920-50-0/BI OR 375839-96-6/BI OR 379247-57 -1/BI OR 381707-29-5/BI OR 40300-93-4/BI OR 421567-54-6/BI OR 421573-27-5/BI OR 422299-08-9/BI OR 422300-55-8/BI OR 431910-06 -4/BI OR 431937-90-5/BI OR 432019-28-8/BI OR 439136-90-0/BI OR 439946-97-1/BI OR 440630-30-8/BI OR 440631-05-0/BI OR 440631-47 -0/BI OR 440637-49-0/BI OR 441731-52-8/BI OR 441742-93-4/BI OR 442648-80-8/BI OR 4
L3	1/BI OR 849613-32-7/BI OR 849613-33-8/BI) 57 SEA SPE=ON ABB=ON PLU=ON L2 AND NR>=3
L4	54 SEA SPE=ON ABB=ON PLU=ON L3 AND N>=1
L5	STRUCTURE UPLOADED
L6 L7	25 SEA SSS SAM L5 1 SEA SPE=ON ABB=ON PLU=ON L6 AND L2
L8	787 SEA SSS FUL L5
L9	7 SEA SPE=ON ABB=ON PLU=ON L8 AND L2
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L10	1 SEA SPE=ON ABB=ON PLU=ON L9
L11	109 SEA SPE=ON ABB=ON PLU=ON BEACHY P?/AU
L12	57860 SEA SPE=ON ABB=ON PLU=ON CHEN J?/AU
L13	17 SEA SPE=ON ABB=ON PLU=ON TAIPALE A?/AU
L14	1 SEA SPE=ON ABB=ON PLU=ON (L11 OR L12 OR L13) AND L10
	FILE 'WPIX' ENTERED AT 20:35:07 ON 18 MAR 2009
L15	32 SEA SSS SAM L5
	FILE 'HCAPLUS' ENTERED AT 20:35:15 ON 18 MAR 2009
L16	47 SEA SPE=ON ABB=ON PLU=ON L8
	FILE 'REGISTRY' ENTERED AT 20:37:32 ON 18 MAR 2009
L17 L18	STRUCTURE UPLOADED 9 SEA SUB=L8 SSS SAM L17
L19	
T 0 0	FILE 'HCAPLUS' ENTERED AT 20:38:15 ON 18 MAR 2009
L20 L21	7 SEA SPE=ON ABB=ON PLU=ON L19 2 SEA SPE=ON ABB=ON PLU=ON L20 AND (PRY<=2003 OR AY<=2003 OR
L	PY<=2003)
L22	1 SEA SPE-ON ABB-ON PLU-ON (L11 OR L12 OR L13) AND L21
	ELLE INDIVI ENTEDED AT 20.40.20 ON 10 MAD 2000
L23	FILE 'WPIX' ENTERED AT 20:40:20 ON 18 MAR 2009 18 SEA SSS SAM L17

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L25	6 SEA SPE=ON ABB=ON PLU=ON L24/DCR
L27 L28	'BEILSTEIN' ENTERED AT 20:41:06 ON 18 MAR 2009 0 SEA SSS SAM L17 0 SEA SSS FUL L17
L31 L32	'MARPAT' ENTERED AT 20:41:27 ON 18 MAR 2009 4 SEA SSS SAM L17 58 SEA SSS FUL L17 STRUCTURE UPLOADED 2 SEA SUB=L30 SSS SAM L31 35 SEA SUB=L30 SSS FUL L31
L34	'HCAPLUS, WPIX' ENTERED AT 20:47:09 ON 18 MAR 2009 1 DUP REM L22 L26 (1 DUPLICATE REMOVED)
L35	'HCAPLUS' ENTERED AT 20:47:30 ON 18 MAR 2009 1 SEA SPE=ON ABB=ON PLU=ON L21 NOT L22
L36 L37	'WPIX' ENTERED AT 20:47:47 ON 18 MAR 2009 5 SEA SPE=ON ABB=ON PLU=ON L25 NOT L26 0 SEA SPE=ON ABB=ON PLU=ON L36 AND (PRY<=2003 OR AY<=2003 OR PY<=2003)
L38	'HCAPLUS, MARPAT' ENTERED AT 20:52:50 ON 18 MAR 2009 35 DUP REM L35 L37 L33 (1 DUPLICATE REMOVED)